

10/518,989

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THE ESTIMATED COST FOR THIS REQUEST IS 248.16 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:739059 CAPLUS
TITLE: Combinations of therapeutic agents comprising vascular disrupting agent such as 5,6-dimethylxanthone-4-acetic acid, for treating cancer
INVENTOR(S): Evans, Dean Brent; Jacques, Christian J.
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 57pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2009076170 | A2 | 20090618 | WO 2008-US85535 | 20081204 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2007-13335P P 20071213

AB The invention relates to a combination comprising vascular disrupting agent (VDA), such as 5,6-dimethylxanthone-4-acetic acid or a pharmaceutically acceptable salt, ester or prodrug thereof; and one or more pharmaceutically active agents; pharmaceutical compns. comprising said combination; methods of treatment comprising said combination; processes for making said combination; and a com. package comprising said combination. Thus, the effects of 5,6-dimethylxanthone-4-acetic acid (Compound A), trastuzumab and paclitaxel are evaluated for their antitumor activity using the BT-474 human breast ductal carcinoma xenograft model; the data shows that Compound A at 20 mg/kg given i.v. on days 1, 5 and 9 is able to produce inhibition of tumor growth; paclitaxel combined with trastuzumab is also active resulting in a combination effect; when Compound A at 20 mg/kg is combined with paclitaxel and trastuzumab, increased activity is apparent resulting in tumor regressions; using the Clark Combination Index method, synergy is indicated; the tolerability of the triple combinations is no worse than that observed when Compound A is dosed alone.

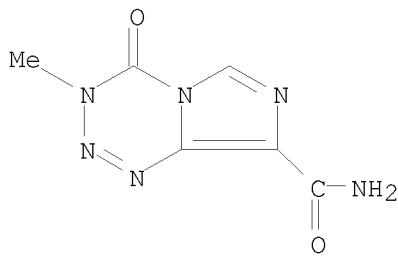
IT 85622-93-1, Temozolomide 212141-54-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (synergistic combinations of therapeutic agents comprising vascular disrupting agent such as 5,6-dimethylxanthone-4-acetic acid, for treating cancer)

RN 85622-93-1 CAPLUS

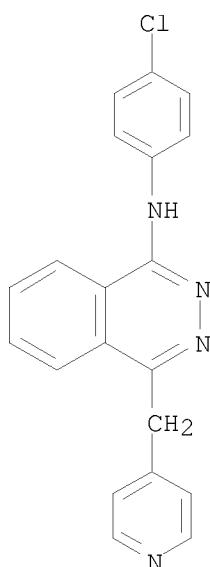
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:519479 CAPLUS

DOCUMENT NUMBER: 150:492909

TITLE: Human anti-VEGF antibodies and conjugates for treatment of angiogenesis conditions

INVENTOR(S): Ramachandra, Sumant; Bishop, Robert Walter; Masat, Linda; Huang, Chao Bai; Takeuchi, Toshihiko; Kantak, Seema

PATENT ASSIGNEE(S): Schering Corporation, USA; Xoma Technology Ltd.

SOURCE: PCT Int. Appl., 195pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2009055343 | A2 | 20090430 | WO 2008-US80531 | 20081020 |

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 FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
 KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
 ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
 PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
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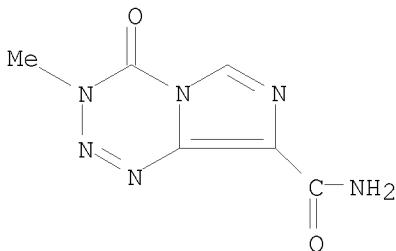
PRIORITY APPLN. INFO.: US 2007-981808P P 20071022
 US 2008-46370P P 20080418

AB Disclosed herein are fully human antibodies and antigen-binding fragments thereof that specifically bind human VEGF and inhibit VEGF binding to VEGF-R1 and VEGF-R2, and therefore inhibit VEGF signaling. The antibodies and antigen-binding fragments disclosed herein may be used, for example, to treat angiogenesis and conditions associated with angiogenesis both *in vivo* and *in vitro*.

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: BSU (Biological study, unclassified); MOA (Modifier or additive use);
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (human anti-VEGF antibodies and conjugates for diagnosis and treatment
 of angiogenesis conditions)

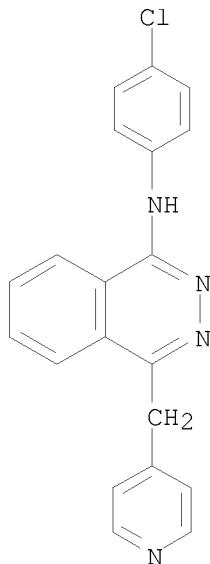
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

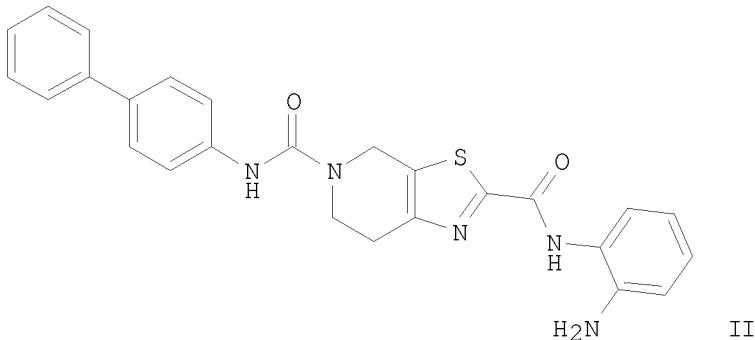
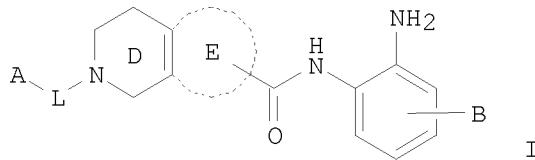
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



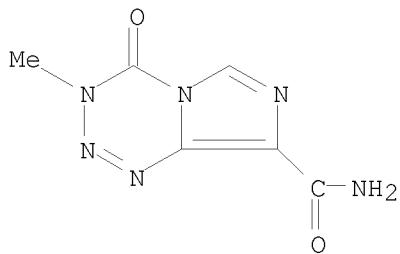
L5 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2009:364201 CAPLUS
 DOCUMENT NUMBER: 150:374299
 TITLE: Preparation of novel fused tetrahydropyridines as inhibitors of histone deacetylases
 INVENTOR(S): Maier, Thomas; Beckers, Thomas; Baer, Thomas;
 Vennemann, Matthias; Gekeler, Volker; Zimmermann,
 Astrid; Gimmnich, Petra; Padiya, Kamlesh J.; Joshi,
 Hemant; Joshi, Uday; Makhija, Mahindra
 PATENT ASSIGNEE(S): 4SC AG, Germany
 SOURCE: PCT Int. Appl., 346pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|---|--|
| WO 2009037001 | A2 | 20090326 | WO 2008-EP8208 | 20080919 |
| WO 2009037001 | A3 | 20090507 | | |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| PRIORITY APPLN. INFO.: | | | EP 2007-116791 IN 2007-MU1819 IN 2008-MU616 | A 20070919 A 20070919 A 20080324 |

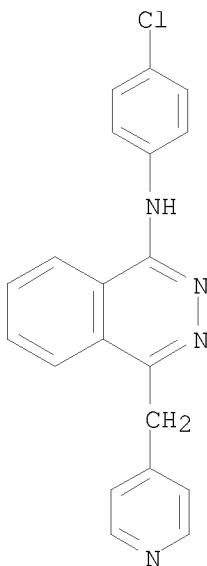
OTHER SOURCE(S): MARPAT 150:374299
GI



- AB Title compds. I [A = alkyl, alkoxy-alkyl, alkylthio-alkyl, mono- or dialkylamino-alkyl, (un)substituted cycloalkyl, etc.; L = bond, $(CH_2)_nS(O)_2$, C(O), C(S), $(CH_2)_nOC(O)$, etc.; B = H, halo, alkyl, alkoxy, thiienyl, etc.; n = 0-2; ring D and ring E together form a fused tetrahydropyridine ring including (un)substituted thiazolopyridine, thiophenpyridine, pyrrolopyridine, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylases. Thus, e.g., II was prepared in 9 steps starting from di-Et oxalate. Selected compds. of the invention were evaluated for their HDAC inhibitory activity with IC₅₀ value of > 10 nM.
- IT 85622-93-1, Temodar 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; preparation of novel fused tetrahydropyridine compds. as HDAC inhibitors useful in treatment and prophylaxis of HDAC-related diseases)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



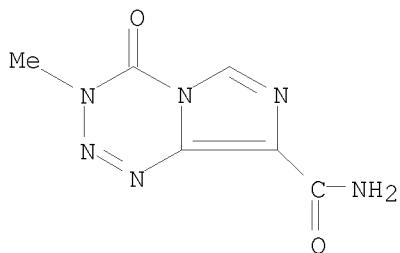
L5 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2009:332545 CAPLUS
 DOCUMENT NUMBER: 150:345478
 TITLE: Compositions and methods using Stat3 pathway inhibitors or cancer stem cell inhibitors for combination cancer treatment
 INVENTOR(S): Li, Chiang Jia; Mikule, Keith; Li, Youzhi
 PATENT ASSIGNEE(S): Boston Biomedical, Inc., USA
 SOURCE: PCT Int. Appl., 81pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2009036101 | A1 | 20090319 | WO 2008-US75906 | 20080910 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | US 2007-971144P | P 20070910 |

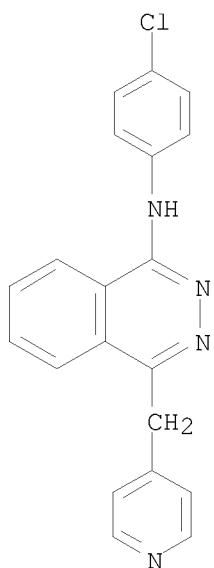
10/518,989

US 2007-13372P P 20071213

AB The present invention relates to the composition and methods of use of Stat3 pathway inhibitors or cancer stem cell inhibitors in combination treatment of cancer.
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Stat3 pathway inhibitors or cancer stem cell inhibitors for combination cancer treatment)
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:86451 CAPLUS
DOCUMENT NUMBER: 150:160095
TITLE: Use of adenosine A2A receptor agonists and phosphodiesterase (PDE) inhibitors for the treatment

10/518,989

of B-cell proliferative disorders, and combinations with other agents

INVENTOR(S): Rickles, Richard; Lee, Margaret S.

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 70pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2009011893 | A2 | 20090122 | WO 2008-US8758 | 20080717 |
| WO 2009011893 | A3 | 20090319 | | |
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| US 20090053168 | A1 | 20090226 | US 2008-175219 | 20080717 |
| PRIORITY APPLN. INFO.: | | | US 2007-950307P | P 20070717 |
| | | | US 2007-965587P | P 20070821 |

AB The invention provides compns. and methods for the treatment of B-cell proliferative disorders that employ an A2A receptor agonist or one or more PDE inhibitors. The methods and compns. may further include an antiproliferative compound

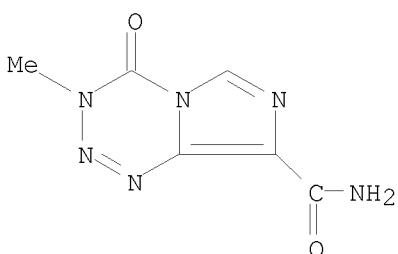
IT 85622-93-1, Temodar 212141-54-3, Vatalanib

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine A2A receptor agonists and phosphodiesterase inhibitors for treatment of B-cell proliferative disorders, and combinations with other agents)

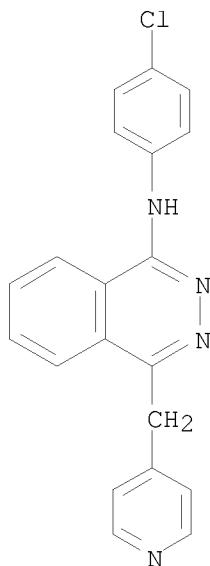
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2009:83374 CAPLUS
 DOCUMENT NUMBER: 150:160094
 TITLE: Combinations for the treatment of B-cell proliferative disorders
 INVENTOR(S): Rickles, Richard; Pierce, Laura; Lee, Margaret S.
 PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
 SOURCE: PCT Int. Appl., 79pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2009011897 | A1 | 20090122 | WO 2008-US8764 | 20080717 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 20090047243 | A1 | 20090219 | US 2008-175121 | 20080717 |
| PRIORITY APPLN. INFO.: | | | US 2007-959877P | P 20070717 |
| | | | US 2007-965595P | P 20070821 |

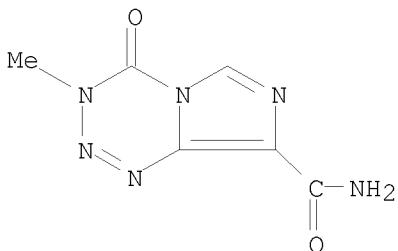
AB The invention features compns. and methods employing combinations of an A2A receptor agonist and a PDE (phosphodiesterase) inhibitor for the treatment of a B-cell proliferative disorder, e.g., multiple myeloma. In at least one embodiment, the compns. of the invention comprise a PDE

inhibitor active against at least two of PDE 2, 3, 4, and 7. In at least one embodiment, the compns. of the invention comprises further administering an antiproliferative compound

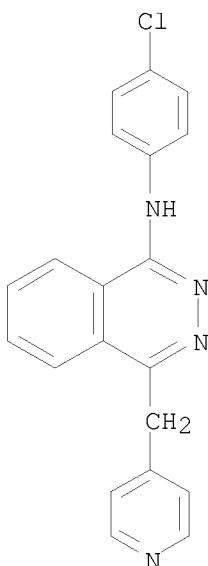
IT 85622-93-1, Temodar 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combinations for treatment of B-cell proliferative disorders using PDE inhibitors and A2A receptor agonists and antiproliferative compds.)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



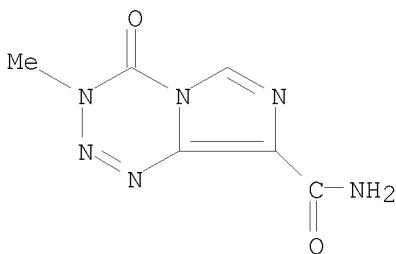
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2009:25215 CAPLUS
 DOCUMENT NUMBER: 150:119716
 TITLE: Anti-insulin-like growth factor 1 receptor therapy
 INVENTOR(S): Wang, Yan; Pachter, Jonathan A.; Hailey, Judith Anne;
 Brams, Peter; Williams, Denise; Srinivasan, Mohan;

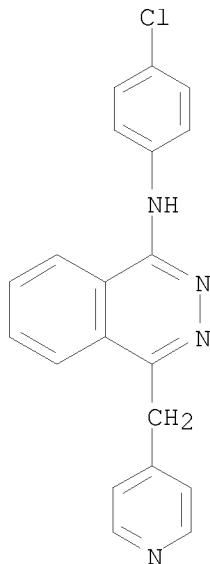
PATENT ASSIGNEE(S): Feingersh, Mary Diane
 SOURCE: Schering Corporation, USA
 PCT Int. Appl., 129pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2009005673 | A1 | 20090108 | WO 2008-US7920 | 20080625 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2007-946803P P 20070628
 AB The authors disclose the preparation and functional characterization of human antibodies to the type 1 insulin-like growth factor receptor. In one example, the growth of a human neuroblastoma was shown to be inhibited by an anti-IGF1R antibody in a xenograft model.
 IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in combination therapy with anti-IGF1R antibodies)
 RN 85622-93-1 CAPLUS
 CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:1248933 CAPLUS
 DOCUMENT NUMBER: 149:448428
 TITLE: Preparation and use of quinazoline derivative for treatment of cancer
 INVENTOR(S): Laughlin, Mark; Anderson, Mark B.; Willardsen, Adam; Pleiman, Chris
 PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA
 SOURCE: PCT Int. Appl., 24pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008124826 | A1 | 20081016 | WO 2008-US59910 | 20080410 |
| W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

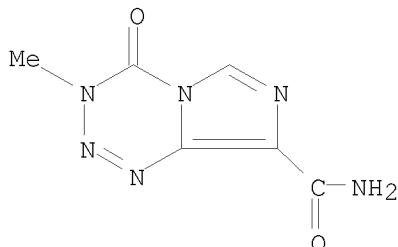
PRIORITY APPLN. INFO.: US 2007-910944P P 20070410

OTHER SOURCE(S): CASREACT 149:448428

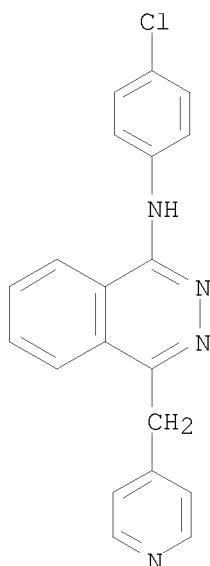
AB This document discloses the use of a compound for the manufacture of a medicament

useful in treating cancer in a mammal in need of such treatment, comprising administering to the mammal an effective amount of N-(4-methoxyphenyl)-N,2-dimethyl-4-quinazolinamine hydrochloride (I), or a pharmaceutically acceptable salt or solvate thereof, and an effective amount of one or more chemotherapeutic agents chosen from antiangiogenic agents and cytotoxic agents. I was prepared in a 2-step process from 2-methyl-4(3H)-quinazolinone. The vascular disruption effect of I was demonstrated in mice. I was tested in a phase I clin. trial. Formulations are given.

- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in combination therapy; preparation and use of quinazoline derivative for treatment of cancer)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



- RN 212141-54-3 CAPLUS
- CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT:

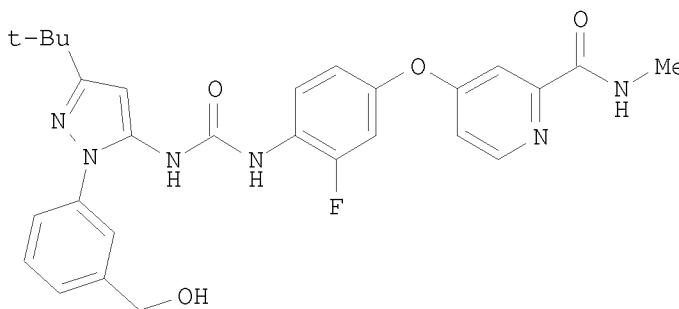
5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:804316 CAPLUS
 DOCUMENT NUMBER: 149:128822
 TITLE: Preparation of
 4-{4-[({3-tert-butyl-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl}carbamoyl)amino]-3-fluorophenoxy}-N-methylpyridine-2-carboxamide as well as prodrugs and salts for treating cancer
 INVENTOR(S): Smith, Roger; Nagarathnam, Dhanapalan
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
 SOURCE: PCT Int. Appl., 84pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2008079968 | A1 | 20080703 | WO 2007-US88365 | 20071220 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | US 2006-875830P | P 20061220 |
| | | | US 2007-986773P | P 20071109 |

GI

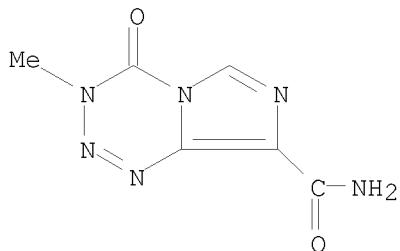


I

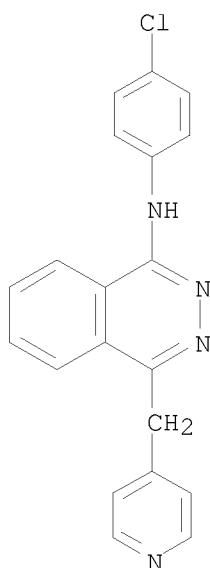
AB The title compound I and alternative forms thereof (e.g., salts, solvates, hydrates, prodrugs, polymorphs and metabolites), were prepared and formulated. For example, a multi-step synthesis of I, starting from 3-hydrazinobenzoic acid and 4,4-dimethyl-3-oxopentanenitrile, was given. I showed IC₅₀ of < 500 nM in biochem. assays for Flk-1, c-Met, wild type Bcr-Abl and mutant T315I Bcr-Abl. Also, I and derivs. thereof showed antiproliferative properties (IC₅₀ < 5 μM) in one or more cell lines of interest. Pharmaceutical compns. which contain I and its alternative forms, and methods for treating cancer, were disclosed.

10/518,989

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(codrug; preparation of novel Ph pyrazolyl ureas for treating cancer)
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



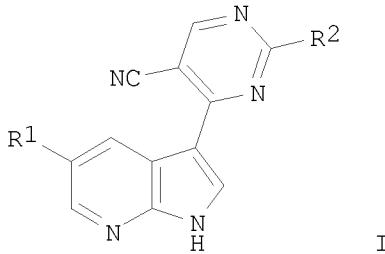
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:796822 CAPLUS
DOCUMENT NUMBER: 149:128848
TITLE: Preparation of 5-cyano-4-(pyrrolo[2,3-b]pyridin-3-yl)pyrimidines as polo-like kinase (PLK) inhibitors.
INVENTOR(S): Mortimore, Michael; Young, Stephen Clinton; Everitt, Simon Robert Lorrie; Knegtel, Ronald; Pinder, Joanne Louise; Rutherford, Alistair Peter; Durrant, Steven; Brenchley, Guy; Charrier, Jean Damien; O'Donnell,

Michael
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 191pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2008079346 | A1 | 20080703 | WO 2007-US26190 | 20071221 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | US 2006-876307P | P 20061221 |
| | | | US 2007-922291P | P 20070406 |
| | | | US 2007-947707P | P 20070703 |
| | | | US 2007-989014P | P 20071119 |

OTHER SOURCE(S): MARPAT 149:128848
 GI



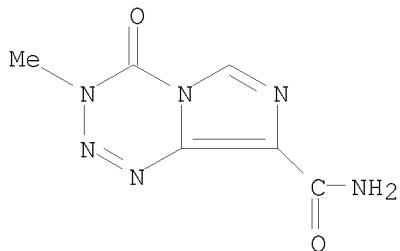
AB Title compds. [I; R1 = H, halo, (substituted) aliphatic, aliphaticloxy; R2 = NR4R5, OR6, SR6, etc.; R4 = H, (substituted) aliphatic; R5 = (substituted) aliphatic, mono- or bicyclic; R4R5 = atoms to form (substituted) mono- or bicyclic; R6 = H, (substituted) alkyl, aryl(alkyl), heteroaryl(alkyl)], were prepared. Thus, 2-methylsulfonyl-4-(1-tosyl-5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidine-5-carbonitrile (preparation given) was microwaved with PhCH2NH2 and diisopropylamine in THF at 100° for 10 min. to give a residue which was stirred with LiOH in THF/H2O for 1 h to give 36% 2-benzylamino-4-(5-trifluoromethyl-1H-pyrrolo[2,3-b]pyridin-3-yl)pyrimidine-5-carbonitrile. I inhibited PLK1 with Ki in the range of <3 nM to >40 nM.

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministration; preparation of cyanopyrrolopyridinylpyrimidines as polo-like kinase inhibitors)

10/518,989

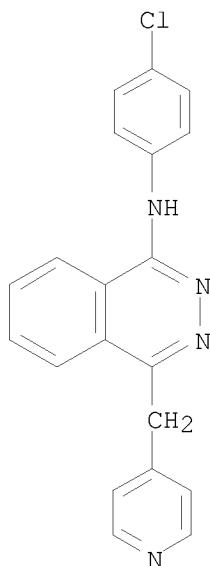
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:771165 CAPLUS

DOCUMENT NUMBER: 149:102715

TITLE: Methods of treating cancer using IGF1R inhibitors

INVENTOR(S): Wang, Yan; Zong, Chen; Seidel-Dugan, Cynthia; Wang, Yaolin; Yao, Siu-Long; Lu, Brian Der-Hua; Ladha, Mohamed H.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 103pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

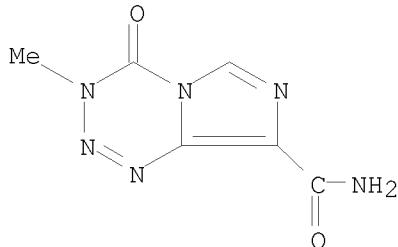
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

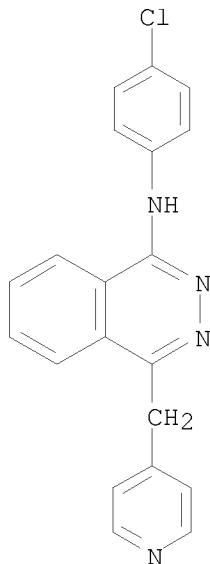
10/518,989

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|--|--|--|
| WO 2008076278 | A2 | 20080626 | WO 2007-US25398 | 20071211 |
| WO 2008076278 | A3 | 20090507 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | |
| | RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | |
| PRIORITY APPLN. INFO.: | | | US 2006-874589P US 2006-870937P US 2007-946011P US 2007-979274P | P 20061213 P 20061220 P 20070625 P 20071011 |

- AB The present invention provides IGF1R inhibitors and combinations thereof that are effective at treating or preventing cancer. More specifically the IGF1R inhibitors are pyrrolo[2,3-d]pyrimidine derivs. or antibodies. The IGF1R inhibitors can be used in combination with other anticancer therapies, antiemetic agents, antianemic agents, or antimucositis agents.
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; methods of treating cancer using IGF1R inhibitors)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



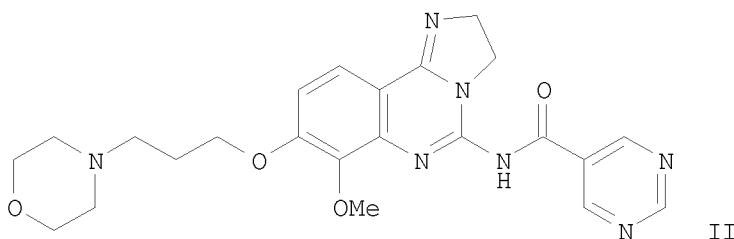
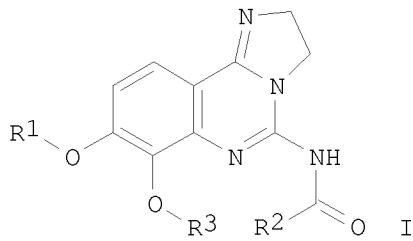
- RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:702849 CAPLUS
 DOCUMENT NUMBER: 149:54012
 TITLE: Preparation of substituted 2,3-dihydroimidazo[1,2-c]quinazoline derivatives for treating hyper-proliferative disorders and diseases associated with angiogenesis
 INVENTOR(S): Hentemann, Martin; Wood, Jill; Scott, William; Michels, Martin; Campbell, Ann-Marie; Bullion, Ann-Marie; Rowley, R. Bruce; Redman, Aniko
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
 SOURCE: PCT Int. Appl., 132pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008070150 | A1 | 20080612 | WO 2007-US24985 | 20071205 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2006-873090P P 20061205
 OTHER SOURCE(S): MARPAT 149:54012
 GI



AB This invention relates to novel 2,3-dihydroimidazo[1,2-c]quinazoline compds. I [R1 = (CH₂)_n(CHR₄)(CH₂)_mNR₅R₅₁; R2 = substituted heteroaryl; R3 = alkyl or cycloalkyl; R4 = H, OH or alkoxy; R5, R₅₁ = H, alkyl, cycloalkylalkyl, alkoxyalkyl; or NR₅R₅₁ = 3-7 membered heterocyclyl optionally containing at least one addnl. heteroatom selected from O, N or S; or R4 and R5 may be taken together with the atoms to which they are bound to form a 5-6 membered N containing heterocyclyl optionally containing 1 or more

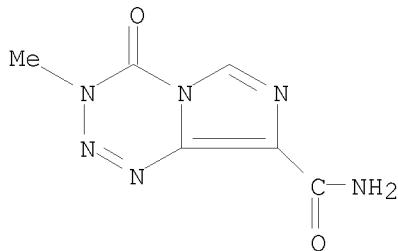
N, O or S atoms; n = 1-4; m = 0-4, with the proviso], pharmaceutical compns. containing such compds. and the use of those compds. or compns. for phosphatidylinositol-3-kinase (PI3K) inhibition and treating diseases associated with phosphatidylinositol-3-kinase (PI3K) activity, in particular treating hyper-proliferative and/or angiogenesis disorders, as a sole agent or in combination with other active ingredients. Over one-hundred compds. I were prepared E.g., a multi-step synthesis of II, starting from vanillin acetate, was given. Exemplified compds. I were tested in PI3K_a kinase assay (data given).

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (codrug; preparation of substituted 2,3-dihydroimidazo[1,2-c]quinazolines as PI3K inhibitors for treating and preventing diseases-mediated by PI3K)

RN 85622-93-1 CAPLUS

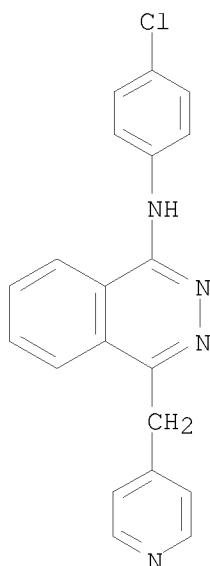
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:589402 CAPLUS

DOCUMENT NUMBER: 148:529419

TITLE: Methods and compositions for detecting receptor ligand mimetics

INVENTOR(S): Khazak, Vladimir; Weber, Lutz

PATENT ASSIGNEE(S): Alphaptose G.m.b.H., Germany

SOURCE: PCT Int. Appl., 53pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2008055995 | A2 | 20080515 | WO 2007-EP62177 | 20071109 |
| WO 2008055995 | A3 | 20081016 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2007316587 A1 20080515 AU 2007-316587 20071109

PRIORITY APPLN. INFO.: US 2006-858033P P 20061110
 WO 2007-EP62177 W 20071109

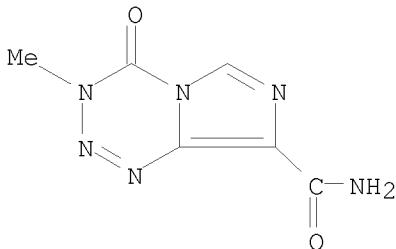
AB A method to determine the utility of small mols. as functional replacements (mimetics) for protein receptor ligands is described. The method uses cellular biol. assays on a systematic array of compds., comprising known protein receptor ligands and other biol. active mols. to determine if a proposed small mol. is a functional equivalent of a receptor ligand having therapeutic utility as a pharmaceutically relevant and useful agent, either alone or in combination with other mols.

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods and compns. for detecting receptor ligand mimetics)

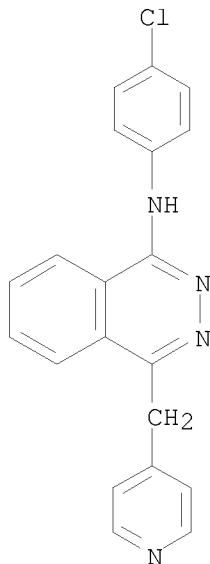
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)

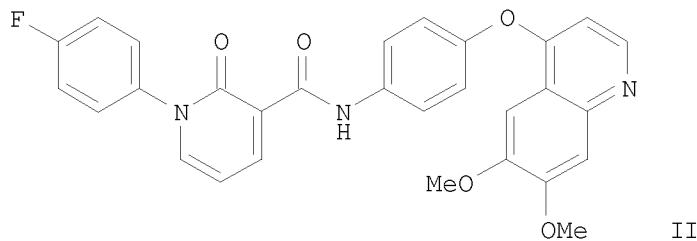
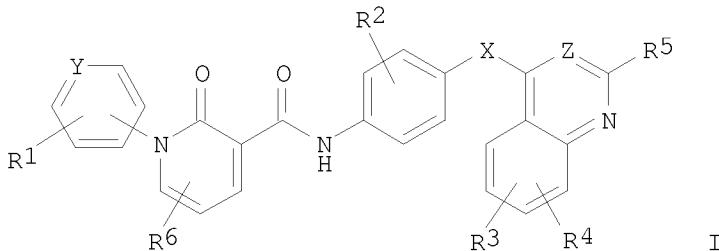


L5 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:501397 CAPLUS
 DOCUMENT NUMBER: 148:495976
 TITLE: Preparation of pyridonecarboxamide derivatives for treating hyper-proliferative and angiogenesis disorders
 INVENTOR(S): Boyer, Stephen; Cantin, David; Liang, Sidney X.
 PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
 SOURCE: PCT Int. Appl., 72pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2008048375 | A1 | 20080424 | WO 2007-US11981 | 20070518 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| CA 2652417 | A1 | 20080424 | CA 2007-2652417 | 20070518 |
| EP 2023926 | A1 | 20090218 | EP 2007-861312 | 20070518 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| PRIORITY APPLN. INFO.: | | | US 2006-801700P | P 20060519 |

OTHER SOURCE(S):
GI

MARPAT 148:495976



AB The title compds. I [X = O or S; Y and Z = CH or N; R1 = H, halo, CN, etc.; R2 = H, halo, alkoxy, etc.; R3, R4 = H, halo, CN, etc.; R5 = H, (un)substituted OH, NH2, etc.; R6 = H, alkoxy, (un)substituted NH2, etc.], useful for treating hyper-proliferative disorders and angiogenesis disorders, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 2-amino-4,5-dimethoxyacetophenone, was given. Compds. I were tested in Flk-1, c-Met and Bcr-Abl assays and showed IC50 of <3 μ M in one or more of the these assays.

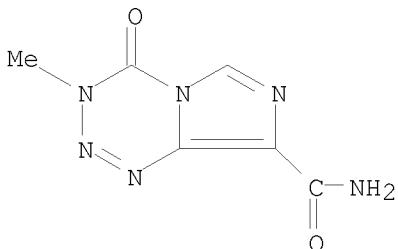
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of novel pyridonecarboxamides for use in mono- and combination therapy of hyperproliferative and angiogenesis disorders)

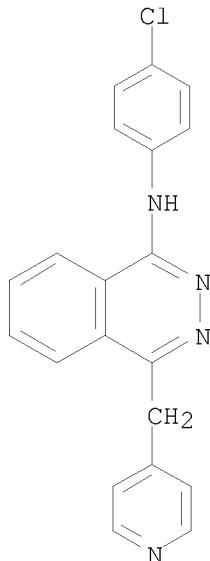
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:380887 CAPLUS
 DOCUMENT NUMBER: 148:394375
 TITLE: Method for treating cancer harboring EGFR mutations
 INVENTOR(S): Solca, Flavio
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;
 Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
 SOURCE: PCT Int. Appl., 60pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

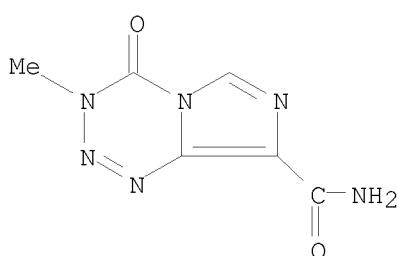
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008034776 | A1 | 20080327 | WO 2007-EP59735 | 20070914 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2007299080 | A1 | 20080327 | AU 2007-299080 | 20070914 |
| CA 2663599 | A1 | 20080327 | CA 2007-2663599 | 20070914 |
| EP 2068880 | A1 | 20090617 | EP 2007-820235 | 20070914 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
AL, BA, HR, MK, RS

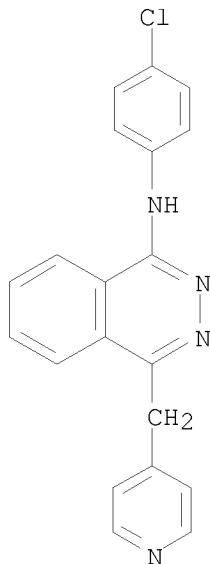
KR 2009074202 A 20090706 KR 2009-707757 20070914

PRIORITY APPLN. INFO.: EP 2006-120856 A 20060918
EP 2007-101505 A 20070131
WO 2007-EP59735 W 20070914

- AB The present invention relates to a method of treatment of patients suffering from cancer and harboring mutations of EGFR in the tumor, for instance an activating mutation of the EGFR or a mutation responsible for resistance or the emergence of acquired resistance to treatment with reversible EGFR and/or HER2 inhibitors or irreversible inhibitors such as CI-1033, EKB-569, HKI-272 or HKI-357, comprising administering an effective amount of the irreversible EGFR inhibitor BIBW2992 (4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-(N,N-dimethylamino)-1-oxo-2-buten-1-yl)amino]-7-((S)-tetrahydrofuran-3-yloxy)-quinazoline), to a person in need of such treatment, optionally in combination with the administration of a further chemotherapeutic agent, in combination with radiotherapy, radio-immunotherapy and/or tumor resection by surgery, and to the use of a BIBW2992 for preparing a pharmaceutical composition for the treatment of patients suffering from cancer and harboring mutations of EGFR in the tumor.
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for treating cancer harboring EGFR mutations using BIBW2992 in combination with other chemotherapeutic agents)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



- RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



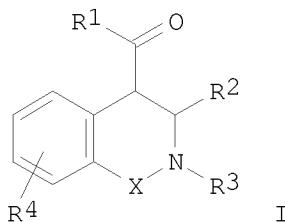
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:352486 CAPLUS
 DOCUMENT NUMBER: 148:355645
 TITLE: Preparation of novel tetrahydroisoquinoline compounds useful in prevention, mono- and combination therapy of various diseases
 INVENTOR(S): Weber, Lutz; Khazak, Vladimir; Ross, Gunther;
 Kalinski, Cedric; Burdack, Christoph
 PATENT ASSIGNEE(S): Nexuspharma Inc., USA
 SOURCE: PCT Int. Appl., 42pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008034039 | A2 | 20080320 | WO 2007-US78464 | 20070914 |
| WO 2008034039 | A3 | 20081211 | | |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |

PRIORITY APPLN. INFO.: US 2006-845095P P 20060915
 OTHER SOURCE(S): MARPAT 148:355645

GI



AB The present invention provides a compound I [X = C(O); R1 = (un)substituted morpholino, pyrrolidino, piperazino, etc.; R2 = heteroaryl, R3 = aryl, heteroaryl, arylalkyl or heteroarylalkyl; R4 = H, F, Cl, Br, I, NO₂, etc.] as ligand binding to the HDM2 protein, inducing apoptosis and inhibiting proliferation, and having therapeutic utility in cancer therapy and prevention. Compds. I can be used as therapeutics for treating stroke, myocardial infarction, ischemia, multi-organ failure, spinal cord injury, Alzheimer's disease, injury from ischemic events and heart valvular degenerative disease. Moreover, compds. I can be used to decrease the side effects from cytotoxic cancer agents, radiation and to treat viral infections. General procedure for the synthesis of compds. I was given. Several compds. I such as 2-(4-chlorobenzyl)-3-(5-chlorothiophen-2-yl)-1-oxo-1,2,3,4-tetrahydroisoquinoline-4-carboxylic acid (2-methoxyethyl)amide, were prepared Pharmaceutical compns. comprising compound I alone or in combination with other therapeutic agents were disclosed.

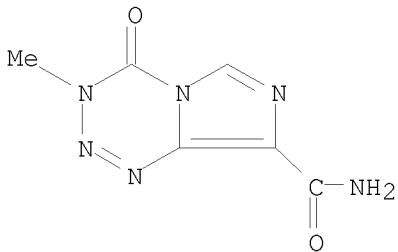
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of novel tetrahydroisoquinoline compds. useful in prevention, mono- and combination therapy of various diseases)

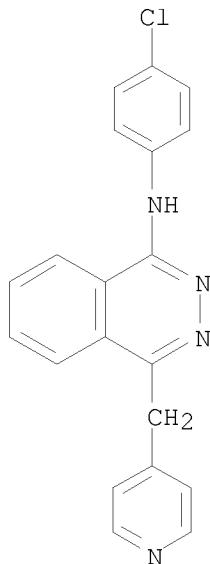
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:72093 CAPLUS
 DOCUMENT NUMBER: 148:168712
 TITLE: 3-Benzoylamino-1H-pyrazole-4-carboxamides as CDK kinase inhibitors, and their preparation, pharmaceutical combinations and use in the treatment of proliferative diseases
 INVENTOR(S): Lyons, John Francis; Squires, Matthew Simon; Thompson, Neil Thomas; Gallagher, Neil James
 PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK
 SOURCE: PCT Int. Appl., 292pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008007122 | A2 | 20080117 | WO 2007-GB2654 | 20070713 |
| WO 2008007122 | A3 | 20080306 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| EP 2046330 | A2 | 20090415 | EP 2007-733530 | 20070713 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

PRIORITY APPLN. INFO.:

US 2006-830968P

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GB 2006-14457

A 20060720

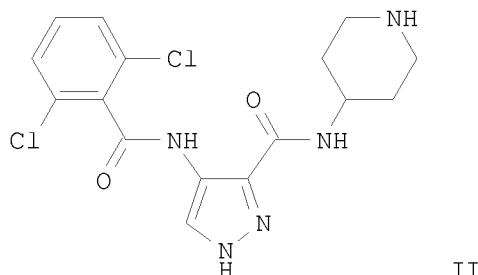
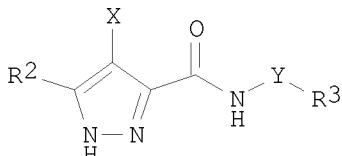
WO 2007-GB2654

W 20070713

OTHER SOURCE(S):

MARPAT 148:168712

GI



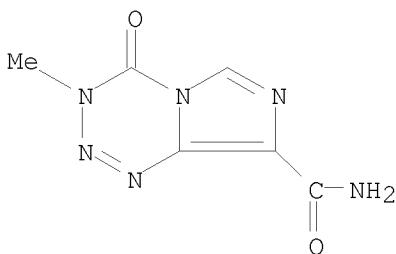
AB The invention provides a combination comprising an ancillary compound and a compound having the formula I: or salts or tautomers or N-oxides or solvates thereof/. Compds. of formula I wherein X is 5- to 6-membered (hetero/carbo)cyclic ring, amino, acylamino, sulfonylamino, etc.; Y is a bond and C1-3 alkylene; R2 is H, halo, C1-4 alkoxy, (un)substituted C1-4 hydrocarbyl; R3 is H, 3- to 12-membered (hetero/carbo)cyclic group; and their salts, tautomers, N-oxides and solvates thereof, are claimed. Example compound II•MsOH was prepared by esterification of 4-nitropyrazole-3-carboxylic acid; the resulting 4-nitropyrazole-3-carboxylic acid Me ester underwent hydrogenation to give 4-aminopyrazole-3-carboxylic acid Me ester, which underwent amidation with 2,6-dichlorobenzoyl chloride to give 4-(2,6-dichlorobenzoylamino)pyrazole-3-carboxylic acid Me ester, which underwent hydrolysis to give 4-(2,6-dichlorobenzoylamino)pyrazole-3-carboxylic acid, which underwent chlorination to give the corresponding acid chloride, which underwent amidation with 4-amino-1-Boc-piperidine to give 1-Boc-piperidin-4-yl 4-(2,6-dichlorobenzoylamino)pyrazole-3-carboxamide, which underwent hydrolysis to give compound II•MsOH. All the invention compds. were evaluated for their CDK kinase inhibitory activity (some data given).

IT 85622-93-1 212141-54-3

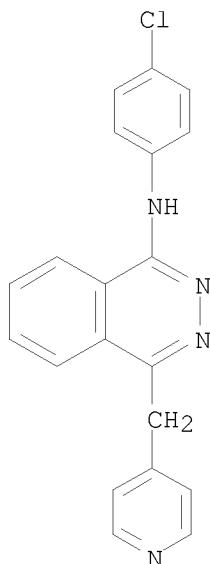
RL: DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of benzoylaminopyrazolecarboxamides as CDK kinase inhibitors useful in the treatment of proliferative diseases)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)

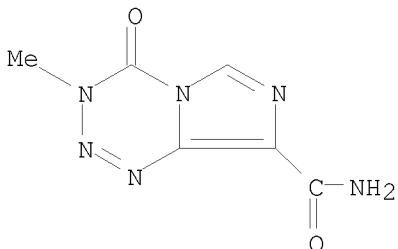


L5 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:43490 CAPLUS
 DOCUMENT NUMBER: 148:135980
 TITLE: Blood levels of insulin-like growth factor-binding protein 2 as a marker for monitoring the effectiveness of inhibitors of insulin-like growth factor I receptors in cancer therapy
 INVENTOR(S): Wang, Yan
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 133pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

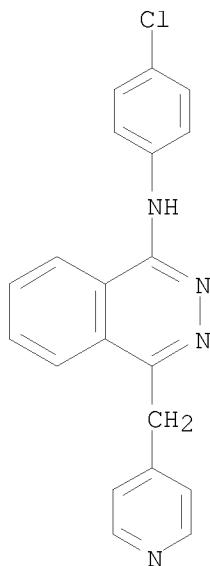
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008005469 | A2 | 20080110 | WO 2007-US15423 | 20070629 |
| WO 2008005469 | A3 | 20080228 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
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BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 CA 2655997 A1 20080110 CA 2007-2655997 20070629
 US 20080112888 A1 20080515 US 2007-771454 20070629
 EP 2032989 A2 20090311 EP 2007-810179 20070629
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 IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
 AL, BA, HR, MK, RS
 PRIORITY APPLN. INFO.: US 2006-818004P P 20060630
 WO 2007-US15423 W 20070629

- AB The present invention provides method for quickly and conveniently determining if a given treatment regimen of insulin-like growth factor I receptor (IGF1R) inhibitor is sufficient, e.g., to saturate IGF1 R receptors in the body of a subject. Blood levels of insulin-like growth factor-binding protein 2 (IGFBP2) are shown to be strongly correlated with the effectiveness of IGF1R receptor therapy. Several clin. relevant detns. may be made based on this point, including, for example, whether the dosage of the regimen is sufficient or should be increased. The relationship is demonstrated using animal xenograft models of neuroblastoma. Treatment with monoclonal antibodies to IGFR1 lowered the blood levels of IGFBP2. The level of IGFBP2 correlated with the tumor size.
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (cancer therapy using; blood levels of IGBP2 as marker for monitoring effectiveness of inhibitors of IGF1 receptors in cancer therapy)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



- RN 212141-54-3 CAPLUS
- CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



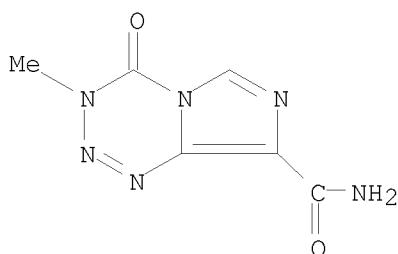
L5 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:1369348 CAPLUS
 DOCUMENT NUMBER: 148:115545
 TITLE: Chemoradiotherapy in malignant glioma: standard of care and future directions
 AUTHOR(S): Stupp, Roger; Hegi, Monika E.; Gilbert, Mark R.; Chakravarti, Arnab
 CORPORATE SOURCE: Multidisciplinary Oncology Center, Centre Hospitalier Universitaire Vaudois and University of Lausanne, Lausanne, Switz.
 SOURCE: Journal of Clinical Oncology (2007), 25(26), 4127-4136
 CODEN: JCONDN; ISSN: 0732-183X
 PUBLISHER: American Society of Clinical Oncology
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 AB A review. Glioma has been considered resistant to chemotherapy and radiation. Recently, concomitant and adjuvant chemoradiotherapy with temozolomide has become the standard treatment for newly diagnosed glioblastoma. Conversely (neo-)adjuvant PCV (procarbazine, lomustine, vincristine) failed to improve survival in the more chemoresponsive tumor entities of anaplastic oligoastrocytoma and oligodendrogloma. Preclin. investigations suggest synergism or additivity of radiotherapy and temozolomide in glioma cell lines. Although the relative contribution of the concomitant and the adjuvant chemotherapy, resp., cannot be assessed, the early introduction of chemotherapy and the simultaneous administration with radiotherapy appear to be key for the improvement of outcome. Epigenetic inactivation of the DNA repair enzyme methylguanine methyltransferase (MGMT) seems to be the strongest predictive marker for outcome in patients treated with alkylating agent chemotherapy. Patients whose tumors do not have MGMT promoter methylation are less likely to benefit from the addition of temozolomide chemotherapy and require alternative treatment strategies. The predictive value of MGMT gene promoter methylation is being validated in ongoing trials aiming at overcoming this resistance by a dose-aense continuous temozolomide administration or in combination with MGMT inhibitors. Understanding of mol. mechanisms allows for rational targeting of specific pathways of

repair, signaling, and angiogenesis. The addition of tyrosine kinase inhibitors vatalanib (PTK787) and vandetinib (ZD6474), the integrin inhibitor cilengitide, the monoclonal antibodies bevacizumab and cetuximab, the mammalian target of rapamycin inhibitors temsirolimus and everolimus, and the protein kinase C inhibitor enzastaurin, among other agents, are in clin. investigation, building on the established chemoradiotherapy regimen for newly diagnosed glioblastoma.

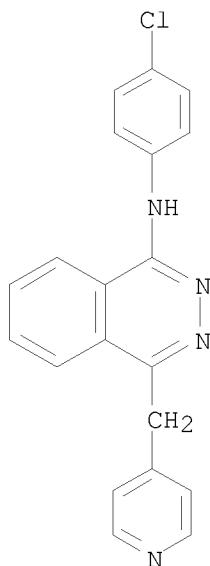
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (role of chemoradiotherapy in treatment of glioblastoma)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:980736 CAPLUS
 DOCUMENT NUMBER: 147:371675
 TITLE: Antitumor sustained-release composition containing
 angiogenesis inhibitors and their synergists

10/518,989

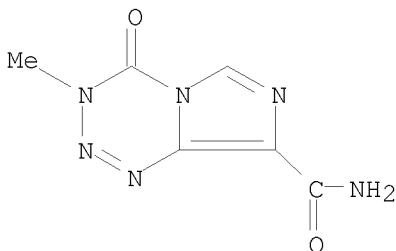
INVENTOR(S): Sun, Juan; Liu, Yuyan; Kong, Qingxin
PATENT ASSIGNEE(S): Jinan Kangquan Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 31pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| CN 101023929 | A | 20070829 | CN 2007-10200438 | 20070412 |
| PRIORITY APPLN. INFO.: CN 2007-10200438 20070412 | | | | |

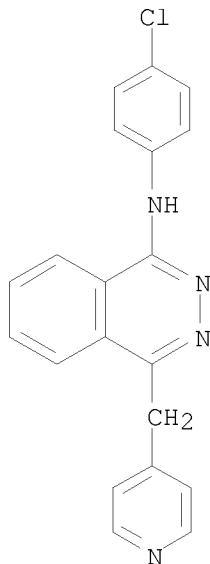
AB The title sustained-release composition is composed of sustained-release microspheres comprising effectively antitumor ingredients 0.5-70, sustained-release adjuvant 30-99, suspending agent 0.0-30%, and solvent. The effectively antitumor ingredients contain angiogenesis inhibitors and/or their synergists selected from antitumor antibiotics and/or tetrazine drugs. The sustained-release adjuvant is selected from phosphate polymer, or the mixture or copolymer of phosphate polymer. The suspending agent is selected from sodium CM-cellulose, iodine glycerin, dimethylsilicone oil, etc. The angiogenesis inhibitors are selected from vandetanib, zamestra, sirolimus, etc. The antitumor antibiotics are selected from bleomycin, daunomycin, aclarubicin, etc. The tetrazine drugs are selected from imidazotetrazine, imidazopiperazine, imidazopyridine, etc. The sustained-release composition can decrease markedly systemic reaction of drugs, and enhance selectively therapeutic effects of non-operative treatment.

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor sustained-release composition containing angiogenesis inhibitors and their synergists)

RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:951286 CAPLUS
 DOCUMENT NUMBER: 147:371648
 TITLE: Sustained-release composition containing angiogenesis inhibitors and topoisomerase inhibitors and/or tetrazine drugs for treating solid tumors
 INVENTOR(S): Sun, Juan; Zhang, Jie; Zou, Huifeng
 PATENT ASSIGNEE(S): Jinan Shuaihua Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
 SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 32pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

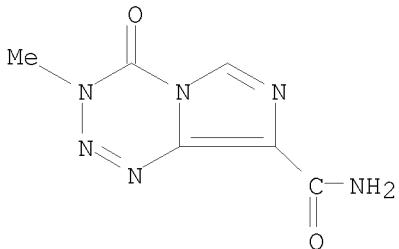
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|----------|------------------|----------|
| CN 101020057 | A | 20070822 | CN 2007-10200323 | 20070323 |
| PRIORITY APPLN. INFO.: | | | CN 2007-10200323 | 20070323 |
| AB The present invention relates to sustained-release composition (injection and implant) consisting of sustained-release microsphere including anti-tumor effective ingredients 0.1-70, sustained-release excipients 30-99.9, suspending agent 0.0-30 weight%, and solvent. The anti-tumor effective ingredients comprise angiogenesis inhibitors and topoisomerase inhibitors and/or tetrazine drugs. The angiogenesis inhibitors are selected from gefitinib, tarceva, pelitinib, sirolimus, tacrolimus, etc. The topoisomerase inhibitors are selected from camptothecin, lurtotecan, topotecan, irinotecan, etc. The tetrazine drugs are selected from procarbazine, mitozolomide, temozolomide, 4-carboxy temozolomide, etc. The sustained-release composition can inhibit solid tumor growth, and can enhance selectively therapeutic effects. | | | | |
| IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib | RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | |
| | (sustained-release composition containing angiogenesis inhibitors and | | | |

10/518,989

topoisomerase inhibitors and/or tetrazine drugs for treating solid tumors)

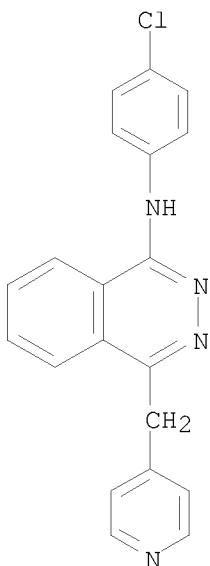
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:619578 CAPLUS

DOCUMENT NUMBER: 147:46112

TITLE: Treatment of cancer and other diseases

INVENTOR(S): Habib, Nabil

PATENT ASSIGNEE(S): Nabil Habib Lab, Lebanon; Vianova Labs, Inc.

SOURCE: PCT Int. Appl., 86pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

| | | | | |
|---|--|----------|-----------------|------------|
| ----- | ----- | ----- | ----- | |
| WO 2007064691 | A1 | 20070607 | WO 2006-US45665 | 20061130 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| CA 2632903 | A1 | 20070607 | CA 2006-2632903 | 20061130 |
| EP 1968607 | A1 | 20080917 | EP 2006-844623 | 20061130 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRIORITY APPLN. INFO.: | | | US 2005-741725P | P 20051202 |
| | | | WO 2006-US45665 | W 20061130 |

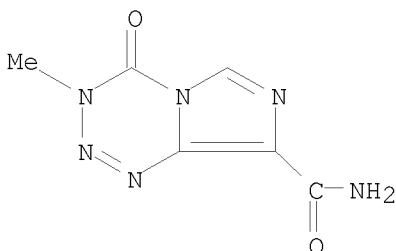
OTHER SOURCE(S): MARPAT 147:46112

AB The present invention relates to a novel compound (e.g., 24-ethyl-cholestane-3 β ,5 α ,6 α -triol), its production, its use, and to methods of treating neoplasms and other tumors as well as other diseases including hypercholesterolemia, autoimmune diseases, viral diseases (e.g., hepatitis B, hepatitis C, or HIV), and diabetes.

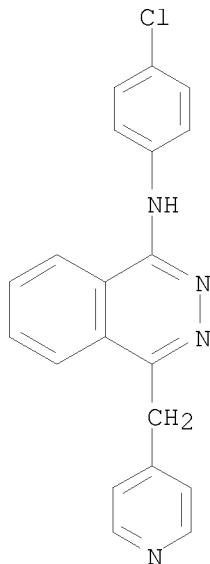
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (treatment of cancer and other diseases using ethylcholestane triol and combination with other agents)

RN 85622-93-1 CAPPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



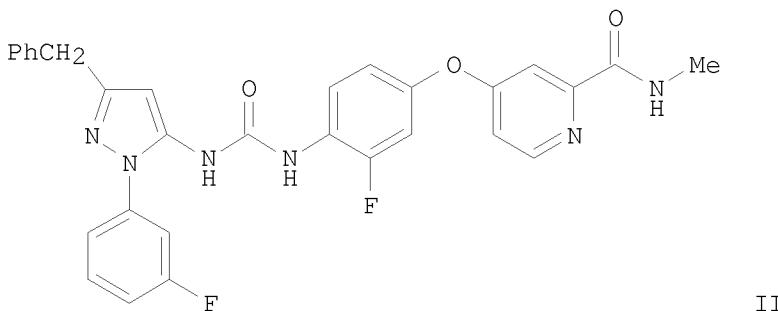
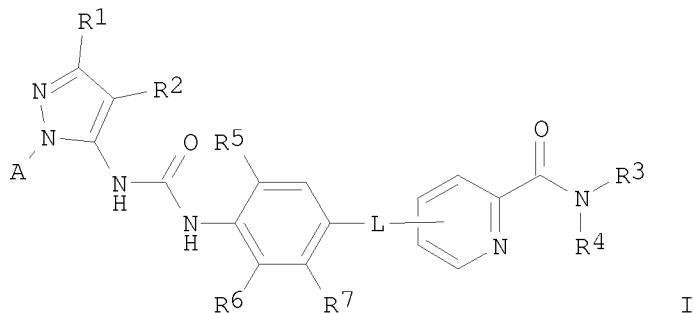
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:618533 CAPLUS
DOCUMENT NUMBER: 147:72742
TITLE: Pyrazole urea compounds useful in the treatment of cancer and their preparation
INVENTOR(S): Smith, Roger; Hatoum-Mokdad, Holia N.; Cantin, Louis-David; Bierer, Donald E.; Fu, Wenlang; Nagarathnam, Dhanapalan; Ladouceur, Gaetan; Wang, Yamin; Ogutu, Herbert; Wilhelm, Scott; Taylor, Ian; Reddy, Sanjeeva; Gedrich, Richard; Carter, Chris; Schmitt, Aaron; Zhang, Xiaomei
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 209pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007064872 | A2 | 20070607 | WO 2006-US45976 | 20061201 |
| WO 2007064872 | A3 | 20070809 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY | | | |

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 CA 2631746 A1 20070607 CA 2006-2631746 20061201
 EP 2044053 A2 20090408 EP 2006-838763 20061201
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, RS
 JP 2009518298 T 20090507 JP 2008-543482 20061201
 MX 2008006979 A 20090114 MX 2008-6979 20080530
 PRIORITY APPLN. INFO.: US 2005-741052P P 20051201
 US 2006-861703P P 20061130
 WO 2006-US45976 W 20061201

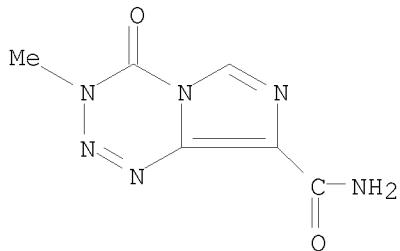
OTHER SOURCE(S): MARPAT 147:72742
GI



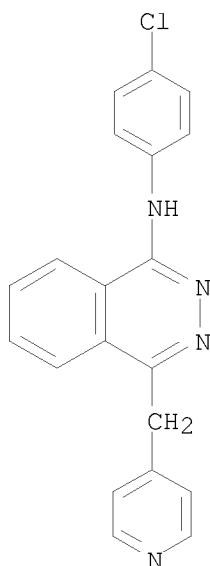
AB Pyrazole urea compds., of formula I pharmaceutical compns. which contain them and methods for treating cancer using them. Compds. of formula I wherein A is (un)substituted (hetero)aryl; L is S and O bound to the 4 or 5 position of pyridyl; R1 is (un)branched C3-6 alkyl, C3-6 cycloalkyl, Me-substituted C3-5 cycloalkyl, CF3 and C1-3 alkylphenyl; R2 is H and Me; R3 and R4 are independently H and C1-6 alkyl; R5, R6 and R7 are independently H, halo, OH, C1-6 alkyl, C1-5 haloalkyl and C1-3 alkoxy, where at least one of R5, R6 and R7 is H; and their pharmaceutically acceptable salts, metabolites, solvates, hydrates, prodrugs, polymorphs, diastereoisomers, stereoisomers and mixture of stereoisomers thereof, are claimed. Example compound II was prepared by addition of 4-(4-amino-3-fluorophenoxy)-N-methylpyridine-2-carboxamide to [3-benzyl-1-(3-fluorophenyl)-1H-pyrazol-5-yl]carbamate. All the invention compds. were evaluated for their anticancer activity. From the assay, it was determined that the invention compds. exhibited IC50 < 10 μM.

10/518,989

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(co-drug; preparation of pyrazole urea compds. useful in treatment of
cancer)
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX
NAME)

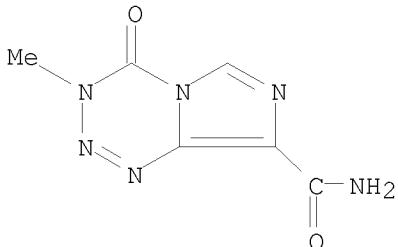


L5 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:569280 CAPLUS
DOCUMENT NUMBER: 147:39055
TITLE: Antitumor sustained-release injection containing
interstitial hydrolytic agent
INVENTOR(S): Sun, Juan; Zhang, Hongjun; Yu, Jianjiang; Zou, Huifeng
PATENT ASSIGNEE(S): Jinan Kangquan Pharmaceutical Science and Technology
Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 30pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent

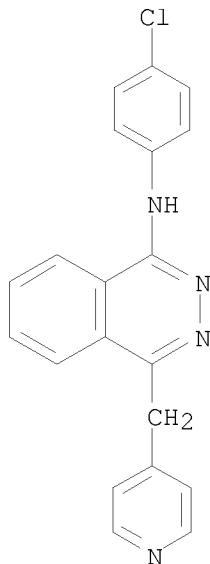
10/518,989

LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|----------|------------------|----------|
| CN 1961862 | A | 20070516 | CN 2006-10201186 | 20061201 |
| | | | CN 2006-10201186 | 20061201 |
| PRIORITY APPLN. INFO.: | | | | |
| AB The title antitumor injection consists of sustained-release microsphere and solvent. The sustained-release microsphere includes antitumor drugs selected from interstitial hydrolytic agent such as collagenase, hyaluronidase, muramidase, relaxin, plasmin, gefitinib, erlotinib, and combination of antibiotics and/or antimetabolite drugs. The sustained-release microsphere includes excipients being one or more of polylactic acid and its copolymer, fatty acid-sebacic acid copolymer, etc. The viscosity of suspending agent is 80 cp-3000 cp. The sustained-release microsphere can also be manufactured into sustained-release implant. After intratumoral or peritumoral injection or placement of the sustained-release implant, the drug can be locally released for about 40 days with good inhibitory effect on tumor growth. The sustained-release agent also enhances therapeutic effect on chemotherapy and/or radiotherapy when used in combination. | | | | |
| IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib | RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | |
| | (antitumor sustained-release injection containing interstitial hydrolytic agent) | | | |
| RN 85622-93-1 CAPLUS | | | | |
| CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide, 3,4-dihydro-3-methyl-4-oxo- | (CA INDEX NAME) | | | |



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:569278 CAPLUS
 DOCUMENT NUMBER: 147:39054
 TITLE: Manufacture of antitumor composition
 INVENTOR(S): Sun, Juan; Yu, Jianjiang; Zhang, Hongjun; Liu, Enxiang
 PATENT ASSIGNEE(S): Jinan Kangquan Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
 SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 32pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

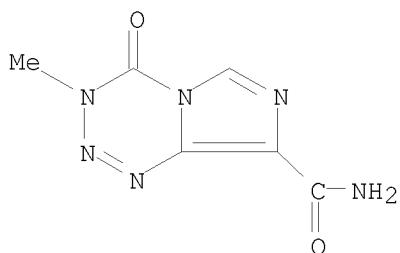
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| CN 1961860 | A | 20070516 | CN 2006-10201180 | 20061201 |
| PRIORITY APPLN. INFO.: | | | CN 2006-10201180 | 20061201 |
| AB The medicinal composition can be sustained-release injection consisting of sustained-release microsphere and solvent, wherein the sustained-release microsphere includes active ingredients and sustained-release auxiliary materials. The active ingredients can be combination of interstitium hydrolytic agent, and topoisomerase inhibitor and/or tetrazines. The interstitium hydrolytic agent is selected from elastase, trypsin, pepsin, pronase, dispase, bromelains, chymotrypsin, clostripain, fibrinolysin, cathepsin-G, plasminogen activator, collagenase, streptokinase, glycosidase, hyaluronidase, muramidase, relaxin, interferon, brinolase, gefitinib, erlotinib, lapatinib, vatalanib, pelitinib, carboxyaminotriazole, thalidomide, angiostatin, endostatin, imatinib mesilate, avastin, sorafenib, and sutent. The tetrazines can be one or more of imidazotetrazine, imidazopyrazine, imidazopyridine, procarbazine, mitozolomide, temozolomide, 4-carboxytemozolomide, and 3-N-methyl-temozolomide. The topoisomerase inhibitor can be camptothecin, 9-nitro-camptothecin, podophyllotoxin, trihydroxyisoflavone, luritotecan, topotecan, irinotecan, etoposide, teniposide, adriamycin, amrubicin, detorubicin, esorubicin, rodorubicin, leurubicin, and zorubicin. The | | | | |

sustained-release microsphere can also be manufactured into sustained-release implant agent, and can locally release drug for about 30-50 days. The medicinal composition can inhibit tumor, and enhance effect of chemotherapy and/or radiotherapy, and other non-surgical therapies when used in combination.

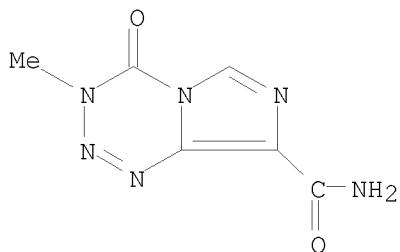
IT 85622-93-1, Temozolomide 85622-93-1D, Temozolomide,
4-Carboxy- or 3-N-Methyl- 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(manufacture of antitumor composition)

RN 85622-93-1 CAPLUS

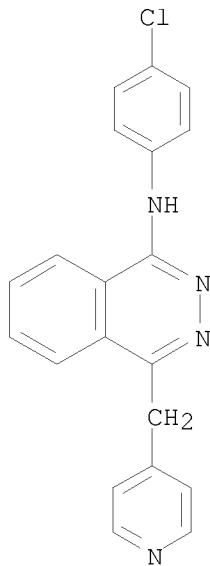
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



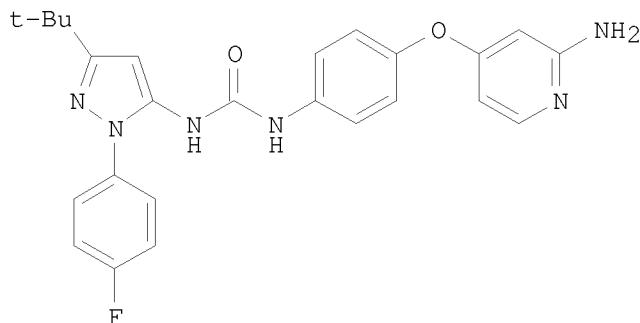
RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:565405 CAPLUS
 DOCUMENT NUMBER: 147:9904
 TITLE: Pyrazolyl urea derivatives useful in the treatment of cancer and their preparation
 INVENTOR(S): Cantin, Louis-David; Smith, Roger; Chen, Zhi;
 Hatoum-Mokdad, Holia N.; Mull, Eric
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
 SOURCE: PCT Int. Appl., 159pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007059202 | A2 | 20070524 | WO 2006-US44322 | 20061115 |
| WO 2007059202 | A3 | 20070809 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| CA 2629468 | A1 | 20070524 | CA 2006-2629468 | 20061115 |
| EP 1960394 | A2 | 20080827 | EP 2006-837652 | 20061115 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRIORITY APPLN. INFO.: | | | US 2005-736400P | P 20051115 |

GI

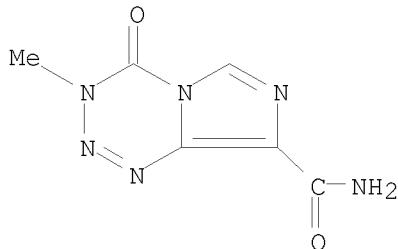


AB Pyrazole urea compds., pharmaceutical compns. which contain them and methods for treating cancer using them. Example compound I was prepared by condensation of [5-tert-butyl-2-(4-fluorophenyl)-2H-pyrazol-3-yl]carbamic acid Ph ester with 4-[2-(2,5-dimethylpyrrol-1-yl)pyridin-4-yloxy]phenylamine; the resulting 1-[5-tert-butyl-2-(4-fluorophenyl)-2H-pyrazol-3-yl]-3-[4-[2-(2,5-dimethylpyrrol-1-yl)pyridin-4-yloxy]phenyl]urea hydrolysis with hydroxylamine to give compound I. All the invention compds. were evaluated for their antiproliferative activity. From the assay, it was determined that the invention compds. exhibited IC₅₀ values of < 10μM.

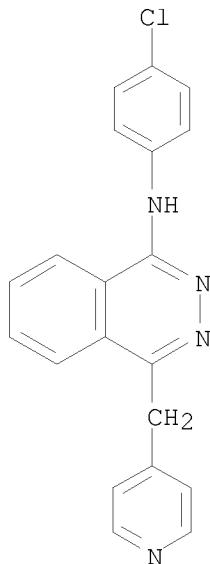
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of pyrazolylurea derivs. useful in treatment of cancer)
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



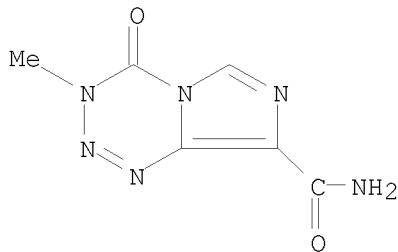
L5 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:561763 CAPLUS
 DOCUMENT NUMBER: 146:494108
 TITLE: Anti-angiogenic activity of 2-methoxyestradiol in combination with anti-cancer agents
 INVENTOR(S): Plum, Stacy M.; Strawn, Steven J.; Lavallee, Theresa M.; Sidor, Carolyn F.; Fogler, William E.; Treston, Anthony M.
 PATENT ASSIGNEE(S): Entremed, Inc., USA
 SOURCE: PCT Int. Appl., 49pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007059111 | A2 | 20070524 | WO 2006-US44152 | 20061114 |
| WO 2007059111 | A3 | 20090514 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| US 20070185069 | A1 | 20070809 | US 2006-599997 | 20061114 |
| PRIORITY APPLN. INFO.: | | | US 2005-736220P | P 20051114 |
| | | | US 2006-788354P | P 20060331 |

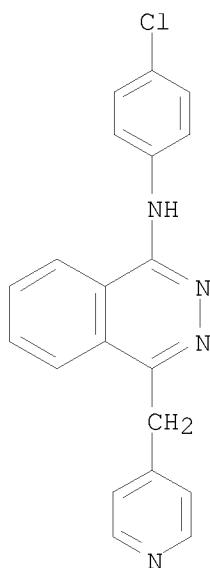
AB The present invention relates generally to methods and compns. of treating

disease characterized by abnormal cell proliferation and/or abnormal or undesirable angiogenesis by administering antiangiogenic agents in combination with chemotherapeutic agents. More specifically, the present invention relates to methods and compns. of treating diseases characterized by abnormal cell proliferation and/or abnormal or undesirable angiogenesis by administering 2-methoxyestradiol, in combination with chemotherapeutic agents.

- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (anti-angiogenic activity of 2-methoxyestradiol and other estradiols in combination with anti-cancer agents)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



- RN 212141-54-3 CAPLUS
- CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)

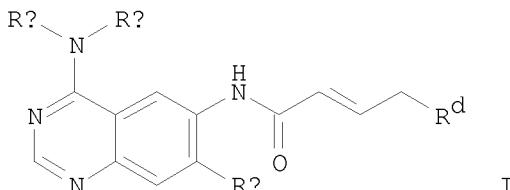


INVENTOR(S): Solca, Flavio; Amelsberg, Andree; Stehle, Gerd; Van Meel, Jacobus C. A.; Baum, Anke
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;
 Boehringer Ingelheim Pharma GmbH & Co. KG
 SOURCE: PCT Int. Appl., 107pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007054551 | A1 | 20070518 | WO 2006-EP68314 | 20061109 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| CA 2629249 | A1 | 20070518 | CA 2006-2629249 | 20061109 |
| EP 1948180 | A1 | 20080730 | EP 2006-819380 | 20061109 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2009515852 | T | 20090416 | JP 2008-539441 | 20061109 |
| PRIORITY APPLN. INFO.: | | | EP 2005-110669 | A 20051111 |
| | | | WO 2006-EP68314 | W 20061109 |

OTHER SOURCE(S): MARPAT 146:514717

GI

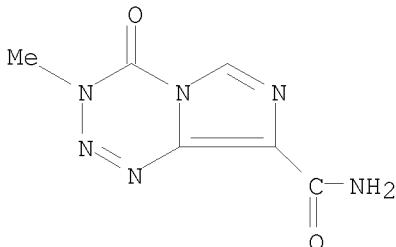


AB The invention discloses a therapy of cancer comprising co-administration to a person in need of such treatment and/or co-treatment of a person in need of such treatment with effective amounts of (1) a compound I (Ra = benzyl, 1-phenylethyl, 3-chloro-4-fluorophenyl; Rb = H, C1-4 alkyl; Rc = cyclopropylmethoxy, cyclobutoxy, etc.; Rd = dimethylamino, N-cyclopropyl-N-methylamino, etc.); and (2) at least a further chemotherapeutic agent; optionally in combination with radiotherapy, radioimmunotherapy and/or tumor resection by surgery. The invention further discloses corresponding medicaments and the preparation thereof.

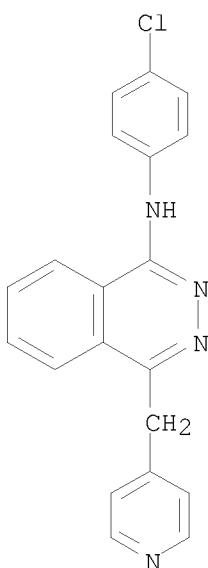
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

10/518,989

(EGFR/HER2 inhibitor combination treatment for cancer)
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



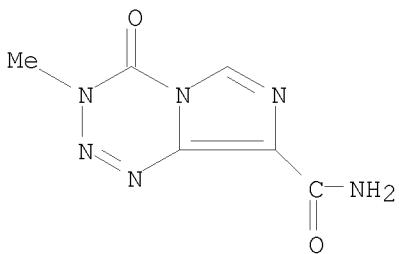
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1202105 CAPLUS
DOCUMENT NUMBER: 146:32919
TITLE: Antitumor sustained-release injection containing vascular inhibitor and its synergistic agent from topoisomerase inhibitors and/or tetrazine compounds
INVENTOR(S): Kong, Qingxia
PATENT ASSIGNEE(S): Jinan Shuaihua Pharmaceutical Science and Technology Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 32pp.
DOCUMENT TYPE: Patent
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

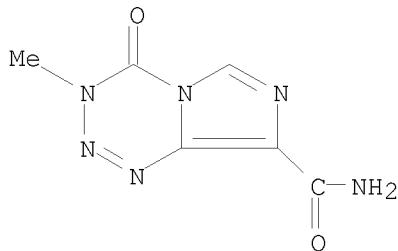
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|----------|------------------|----------|--|
| CN 1857205 | A | 20061108 | CN 2006-10200204 | 20060306 | |
| PRIORITY APPLN. INFO.: | | | CN 2006-10200204 | 20060306 | |
| AB The sustained-release injection is comprised of (A) sustained-release microsphere comprising antitumor effective constituent 0.5-60, sustained-release adjuvant 41-99.9% and suspending agent 0.0-30.0%; and (B) solvent. The antitumor effective constituent is selected from vascular inhibitor and/or its synergistic agent which is selected from topoisomerase inhibitors and/or tetrazine compds. Said vascular inhibitors are selected from gefitinib, tarceva, lapatinib, N-(4-chlorophenyl)-4-(pyridin-4-yl-methyl)phtalazin-1-amine, etc. Said topoisomerase inhibitors are selected from one of camptothecin, hydroxycamptothecin, lurtotecan, topotecan, irinotecan, etc., or the mixture thereof. Said tetrazine compds. are selected from one of procarbazine, mitozolomide, 4-carboxy temozolomide, temozolomide, or the mixture thereof. The sustained-release adjuvant is selected from one of (a) polylactic acid; (b) polyglycolic acid-hydroxy acetic acid copolymer; (c) polifeprosan; (d) ethene-vinyl acetate copolymer; (e) difatty acid-sebacic acid copolymer; (f) poly(erucic acid dimer-sebacic acid) copolymer; (g) poly(fumaric acid-sebacic acid) copolymer; (h) xylitol, oligosaccharide, chondroitin, chitin, hyaluronic acid, collagens, etc.; or the mixture thereof. The suspending agent is one of (a) 0.5-3.0% (sodium) CM-cellulose; (b) 5-15% mannitol; (c) 5-15% sorbitol; (d) 0.1-1.5% surfactant; (e) 0.1-0.5% tween 20; (f) (iodine) glycerin, dimethicone, propylene glycol, or carbomer; (g) 0.5-5% sodium CM-cellulose + 0.1-0.5% tween 80; (h) 5-20% mannitol + 0.1-0.5% tween 80; or (i) 0.5-5% sodium CM-cellulose + 5-20% sorbitol + 0.1-0.5% tween 80. | | | | | |
| IT 85622-93-1, Temozolomide 85622-93-1D, Temozolomide, carboxy derivs. 212141-54-3, Vatalanib | RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antitumor sustained-release injection containing vascular inhibitor and synergistic agent from topoisomerase inhibitors and/or tetrazine compds.) | | | | |
| RN 85622-93-1 CAPLUS | | | | | |
| CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide, 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME) | | | | | |



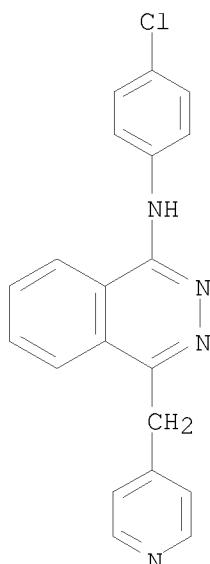
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:981749 CAPLUS

DOCUMENT NUMBER: 145:335928

TITLE: Preparation of 1,5-dihydro-3-hydroxy-2H-pyrrol-2-ones as Mdm2 protein modulators

INVENTOR(S): Weber, Lutz

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 11pp.

CODEN: GWXXBX

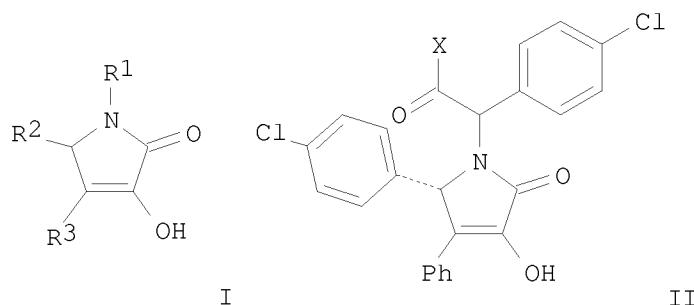
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|----------------------|----------|
| DE 102005012681 | A1 | 20060921 | DE 2005-102005012681 | 20050318 |
| PRIORITY APPLN. INFO.: | | | DE 2005-102005012681 | 20050318 |
| OTHER SOURCE(S): | CASREACT 145:335928; MARPAT 145:335928 | | | |
| GI | | | | |

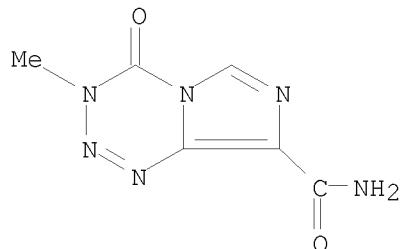


AB Title compds. I [R₁, R₂ = cycloalkyl, heteroaryl, aryl, etc.; R₃ = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of carboxylic acid II [X = OH] and 2-methoxyethylamine afforded amide II [X = NHCH₂CH₂OCH₃]. Compds. I are noted as Mdm2 protein modulators (no data provided).

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of 3-hydroxy-2H-pyrrolones as Mdm2 protein modulators)

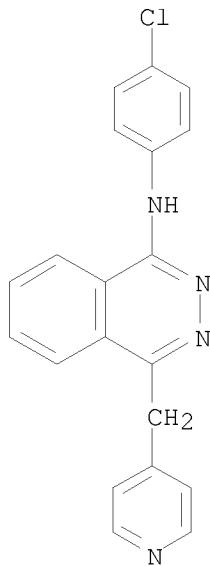
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)

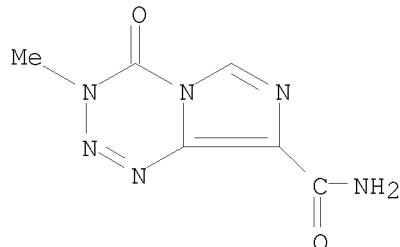


L5 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:976176 CAPLUS
 DOCUMENT NUMBER: 145:335951
 TITLE: Tetrahydroisoquinolin-1-ones as HDM2 ligands, their preparation, pharmaceutical compositions, and use for the treatment of cancer
 INVENTOR(S): Weber, Lutz
 PATENT ASSIGNEE(S): Germany
 SOURCE: PCT Int. Appl., 42pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

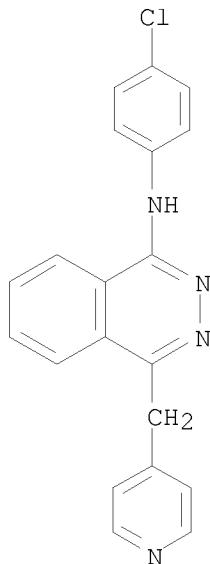
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------------|------------|
| WO 2006097323 | A1 | 20060921 | WO 2006-EP2471 | 20060317 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 20090068144 | A1 | 20090312 | US 2008-909014 | 20080623 |
| PRIORITY APPLN. INFO.: | | | DE 2005-102005012680A | 20050318 |
| | | | WO 2006-EP2471 | W 20060317 |
| OTHER SOURCE(S): GI | CASREACT 145:335951; MARPAT 145:335951 | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The invention relates to compds. according to formula I, which are HDM2 protein ligands, inducing apoptosis and inhibiting proliferation, and having therapeutic utility in cancer therapy. In compds. I, R1 is selected from (un)substituted morpholinyl, (un)substituted pyrrolidinyl, (un)substituted piperazinyl, OR5, and NR5R6, where R5 and R6 are independently selected from H, alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, arylalkyl, and heteroarylalkyl; R2 and R3 are independently selected from aryl, heteroaryl, arylalkyl, or heteroarylalkyl; and R4 is selected from H, OH, halo, nitro, lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, and NR7R8, where R7 and R8 are independently selected from H, lower alkyl, lower alkoxyalkyl, heterocyclyl, aryl, and heteroaryl. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, optionally in combination with a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cancer. Condensation of 4-chlorobenzaldehyde with 4-chlorobenzylamine followed by heterocyclization with homophthalic anhydride gave isoquinolinonecarboxylic acid II, which was amidated with 2-methoxyethylamine to give isoquinolinone III. The compds. of the invention are ligands of HDM2 (no data).
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of tetrahydroisoquinolinones as HDM2 ligands for the treatment of cancer)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



- RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:845730 CAPLUS
 DOCUMENT NUMBER: 145:278268
 TITLE: Antitumor compositions containing antiangiogenic agents and aldesleukin for synergistic effect
 INVENTOR(S): Aukerman, Sharon Lea; Denis-Mize, Kimberly; Elias, Laurence; Jallal, Bahija; Menezes, Daniel; Witherell, Gary W.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 104pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006089150 | A2 | 20060824 | WO 2006-US5720 | 20060217 |
| WO 2006089150 | A3 | 20061102 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006214138 | A1 | 20060824 | AU 2006-214138 | 20060217 |
| CA 2598448 | A1 | 20060824 | CA 2006-2598448 | 20060217 |

| | | | | |
|--|----|----------|------------------|------------|
| EP 1853302 | A2 | 20071114 | EP 2006-735400 | 20060217 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2008530239 | T | 20080807 | JP 2007-556337 | 20060217 |
| MX 2007010037 | A | 20080215 | MX 2007-10037 | 20070817 |
| IN 2007KN03324 | A | 20080321 | IN 2007-KN3324 | 20070907 |
| KR 2007108909 | A | 20071113 | KR 2007-721118 | 20070914 |
| CN 101146549 | A | 20080319 | CN 2006-80009316 | 20070921 |
| PRIORITY APPLN. INFO.: | | | US 2005-654341P | P 20050218 |
| | | | WO 2006-US5720 | W 20060217 |

OTHER SOURCE(S): MARPAT 145:278268

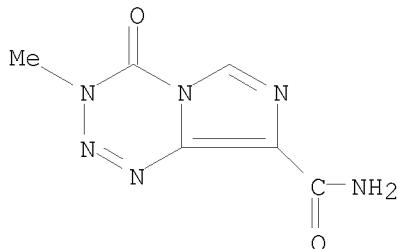
AB The present invention relates to combination therapies with IL-2 compns. and antiangiogenic agents for the treatment of cancer. Further provided are methods of alleviating toxicities and increasing the efficacy associated with the administration of IL-2 compns. or antiangiogenic compns.

IT 85622-93-1P 212141-54-3P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor compns. containing antiangiogenic agents and aldesleukin for
synergistic effect)

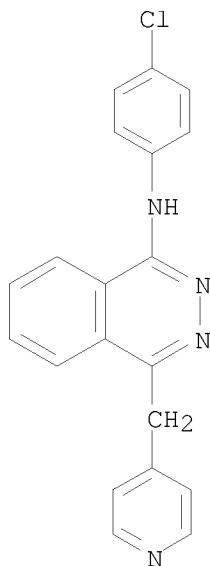
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX
NAME)

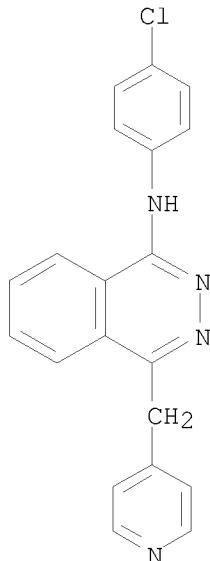


L5 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:372182 CAPLUS
 DOCUMENT NUMBER: 144:495317
 TITLE: Anticancer implantation composition containing angiogenesis inhibitor and antitumor agent
 INVENTOR(S): Kong, Qingzhong; Sun, Juan; Yu, Jianjiang
 PATENT ASSIGNEE(S): Peop. Rep. China
 SOURCE: Faming Zhuanli Shengqing Gongkai Shuomingshu, 19 pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| CN 1733302 | A | 20060215 | CN 2005-10044379 | 20050805 |
| PRIORITY APPLN. INFO.: | | | CN 2005-10044379 | 20050805 |
| AB The title anticancer implantation composition comprises an angiogenesis inhibitor, an antitumor agent (plant alkaloids, platinum compds., tetrazines, and/or topoisomerase inhibitors), and pharmaceutical auxiliary materials. The auxiliary materials are biocompatible and degradable polymer which can slowly release the anticancer medicines at the tumor site during the degradation and absorption process. This composition can be placed | | | | |
| at the tumor site to reduce systemic toxic reaction of the drugs, to increase the drug concentration selectively at the tumor site, and to improve the therapeutic effect of non-operative therapy, such as chemotherapy and radiotherapy. | | | | |
| IT 212141-54-3, Vatalanib | | | | |
| RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| (anticancer implantation composition containing angiogenesis inhibitor and anticancer medicine) | | | | |
| RN 212141-54-3 CAPLUS | | | | |

10/518,989

CN 1-Phtalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)

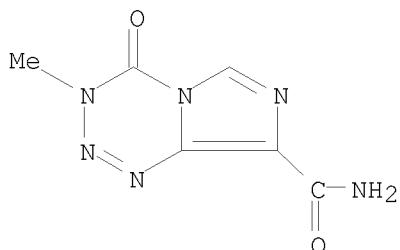


IT 85622-93-1, Temozolomide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tartrate salt)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



L5 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:167588 CAPLUS

DOCUMENT NUMBER: 144:254148

TITLE: Aminopteridinones as anticancer agents, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Munzert, Gerd; Steegmaier, Martin; Baum, Anke

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;
Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

10/518,989

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006018182 | A1 | 20060223 | WO 2005-EP8623 | 20050809 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 20060058311 | A1 | 20060316 | US 2005-189540 | 20050726 |
| AU 2005274384 | A1 | 20060223 | AU 2005-274384 | 20050809 |
| CA 2576269 | A1 | 20060223 | CA 2005-2576269 | 20050809 |
| EP 1827441 | A1 | 20070905 | EP 2005-770228 | 20050809 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU | | | | |
| CN 101039673 | A | 20070919 | CN 2005-80035272 | 20050809 |
| JP 2008509948 | T | 20080403 | JP 2007-526349 | 20050809 |
| BR 2005014357 | A | 20080610 | BR 2005-14357 | 20050809 |
| ZA 2007000280 | A | 20080528 | ZA 2007-280 | 20070110 |
| IN 2007DN00888 | A | 20070803 | IN 2007-DN888 | 20070202 |
| MX 2007001853 | A | 20070328 | MX 2007-1853 | 20070214 |
| KR 2007050478 | A | 20070515 | KR 2007-705955 | 20070314 |
| PRIORITY APPLN. INFO.: | | | EP 2004-19361 | A 20040814 |
| | | | EP 2004-19448 | A 20040817 |
| | | | WO 2005-EP8623 | W 20050809 |

OTHER SOURCE(S): CASREACT 144:254148; MARPAT 144:254148
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

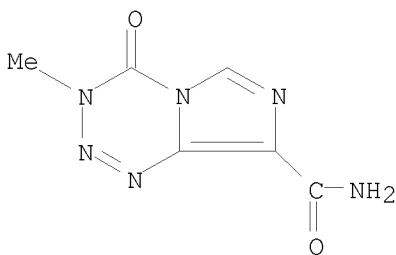
AB The invention relates to a group of aminopteridinones I, which are useful for the treatment of diseases which involve cell proliferation. In compds. I, R1 and R2 are independently selected from H and (un)substituted C1-6 alkyl, or R1 and R2 together form a 2- to 5-membered alkylene bridge, optionally containing 1 or 2 heteroatoms; R3 is (un)substituted C1-12 alkyl, C2-12 alkenyl, C2-12 alkynyl, C6-14 aryl, etc.; R4 is H, OH, CN, halo, (un)substituted amino, (un)substituted C1-6 alkyl, C1-5 alkoxy, etc.; L is (un)substituted C2-10 alkylene, (un)substituted C2-10 alkenylene, (un)substituted C6-14 arylene, etc.; R5 is (un)substituted morpholinyl, (un)substituted piperidinyl, (un)substituted piperazinyl, (un)substituted piperazinylcarbonyl, (un)substituted pyrrolidinyl, (un)substituted thiomorpholinyl, etc.; n is 0 or 1; and m is 1 or 2; including tautomers, stereoisomers, salts, solvates, polymorphs, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, at least one other therapeutic agent, optionally with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. for the treatment of diseases which involve cell

proliferation, migration or apoptosis of cancer cells, or angiogenesis. Esterification of (R)-2-aminobutyric acid and reductive condensation with cyclopentanone gave cyclopentylamine II, which underwent regioselective substitution of 2,4-dichloro-5-nitropyrimidine and reductive heterocyclization to form pteridinone III. N-Methylation of III followed by substitution with 4-amino-3-methoxybenzoic acid and amidation with 1-methyl-4-aminopiperidine resulted in the formation of aminopteridinone IV. A combination of suboptimal doses of irinotecan and compound IV shows an additive/synergistic effect in a human colon carcinoma model and is well tolerated. Meanwhile, compound IV acts at least additively with docetaxel in a human non-small cell lung carcinoma model and not antagonistically with gemcitabine in a human adenocarcinoma model.

IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of aminopteridinones for use in combination therapy for treatment of cell proliferative diseases)

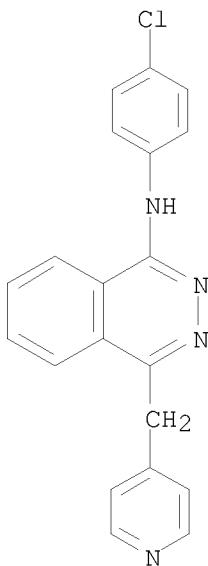
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

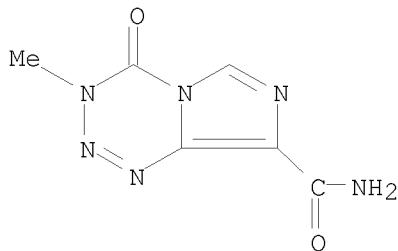
L5 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:1290072 CAPLUS
 DOCUMENT NUMBER: 144:46998
 TITLE: The x-ray crystal structure of BRCA1 tandem BRCT repeat and BACH1 phosphopeptide complex and methods and compositions for antitumor drug design
 INVENTOR(S): Yaffe, Michael B.; Clapperton, Julie A.; Manke, Isaac A.; Lowery, Drew M.; Ho, Timmy; Haire, Lesley F.; Smerdon, Stephen J.
 PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
 SOURCE: PCT Int. Appl., 360 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2005115454 | A2 | 20051208 | WO 2005-US15981 | 20050509 |
| WO 2005115454 | A3 | 20071115 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA | | | | |
| AU 2005247346 | A1 | 20051208 | AU 2005-247346 | 20050509 |
| CA 2569003 | A1 | 20051208 | CA 2005-2569003 | 20050509 |
| EP 1773389 | A2 | 20070418 | EP 2005-780060 | 20050509 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| JP 2007537164 | T | 20071220 | JP 2007-511664 | 20050509 |
| US 20090143997 | A1 | 20090604 | US 2008-229740 | 20080826 |
| PRIORITY APPLN. INFO.: | | | US 2004-569131P | P 20040507 |
| | | | US 2005-126022 | B3 20050509 |
| | | | WO 2005-US15981 | W 20050509 |

AB The present invention relates to compds. (e.g., peptidomimetics and non-peptides) that treat, prevent or stabilize cellular proliferative disorders and methods of treating, preventing, or stabilizing such disorders. The invention also provides three-dimensional structures of a BRCT domain-BACH1 phosphopeptide complex.
 IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (x-ray crystal structure of BRCA1 tandem BRCT repeat and BACH1 phosphopeptide complex and methods and compns. for antitumor drug design)
 RN 85622-93-1 CAPLUS
 CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,

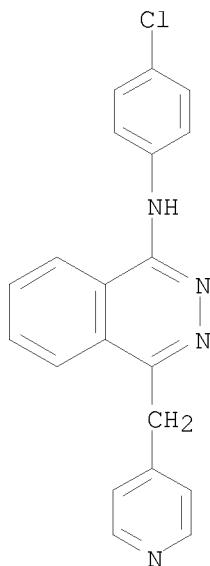
10/518,989

3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



ACCESSION NUMBER: 2005:1239173 CAPLUS

DOCUMENT NUMBER: 143:477963

TITLE: Preparation of pyrazolyl urea derivatives as TrkA kinase inhibitors useful in the treatment of cancer

INVENTOR(S): Lee, Wendy; Ladouceur, Gaetan; Dumas, Jacques; Smith, Roger; Ying, Shihong; Wang, Gan; Chen, Zhi; Liu, Qingjie; Mokdad, Holia Hatoum

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

| | | | | |
|---|--|----------|------------------|------------|
| WO 2005110994 | A2 | 20051124 | WO 2005-US15106 | 20050502 |
| WO 2005110994 | A3 | 20060202 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2564325 | A1 | 20051124 | CA 2005-2564325 | 20050502 |
| EP 1751139 | A2 | 20070214 | EP 2005-778149 | 20050502 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |
| CN 101010315 | A | 20070801 | CN 2005-80022290 | 20050502 |
| JP 2007535565 | T | 20071206 | JP 2007-511073 | 20050502 |
| MX 2006012394 | A | 20070131 | MX 2006-12394 | 20061026 |
| US 20080214545 | A1 | 20080904 | US 2008-579093 | 20080115 |
| PRIORITY APPLN. INFO.: | | | US 2004-566445P | P 20040430 |
| | | | WO 2005-US15106 | W 20050502 |
| OTHER SOURCE(S): | CASREACT 143:477963; MARPAT 143:477963 | | | |
| GI | | | | |

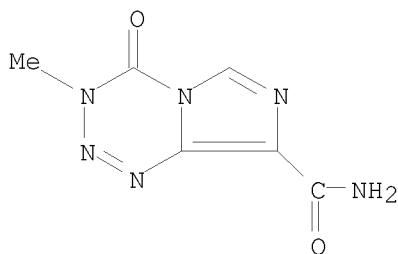
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-2 = H, alkyl, halo; A = Ph, pyridine, pyrimidine; B = phenylene, naphthylene; L = O, S, CH₂; M = Ph, pyridine, pyrimidine; n = 0-1; X = O, SO₂, etc.; Y = alkoxy, oxycarbonyl, amino, etc.] are prepared. For instance, II is prepared from 4-[3-tert-butyl-5-[N'-(4-(pyridin-4-yloxy)phenyl]ureido]pyrazol-1-yl]benzoic acid Me ester (preparation given) and 2-(pyrrolidin-1-yl)ethylamine (DCE, AlMe₃, 80°, 16 h). Compds. of the invention show significant inhibition of TrkA kinase (IC₅₀ < 1 μM). I are useful for the treatment of cancer.

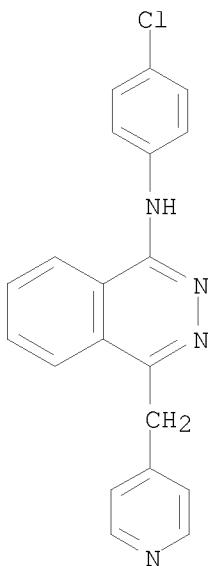
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (substituted pyrazolylurea derivs. useful for cancer treatment)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



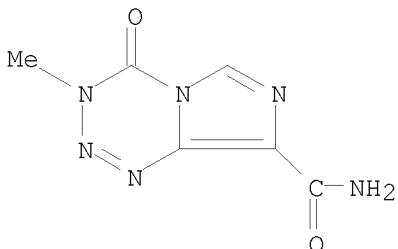
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:409543 CAPLUS
 DOCUMENT NUMBER: 142:457053
 TITLE: Human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy
 INVENTOR(S): Lacasse, Eric; McManus, Daniel
 PATENT ASSIGNEE(S): Aegera Therapeutics, Inc., Can.
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

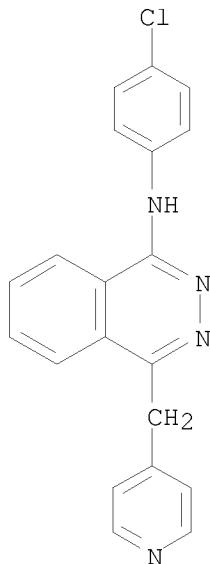
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005042558 | A1 | 20050512 | WO 2004-CA1902 | 20041029 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, | | | | |

| SN, TD, TG | | | | |
|------------------------|----|----------|-----------------|------------|
| US 20050148535 | A1 | 20050707 | US 2004-975974 | 20041028 |
| CA 2542904 | A1 | 20050512 | CA 2004-2542904 | 20041029 |
| EP 1682565 | A1 | 20060726 | EP 2004-789809 | 20041029 |
| R: DE, FR, GB | | | | |
| JP 2007510408 | T | 20070426 | JP 2006-537024 | 20041029 |
| PRIORITY APPLN. INFO.: | | | US 2003-516192P | P 20031030 |
| | | | WO 2004-CA1902 | W 20041029 |

- AB The invention provides nucleobase oligomers and oligonucleotide duplexes that inhibit expression of an IAP (inhibitor of apoptosis protein), and methods for using them to induce apoptosis in a cell. Specifically, the invention provides nucleic acid sequences for siRNAs and shRNAs that target human XIAP, HIAP-1 or HIAP-2 genes. The nucleobase oligomers and oligomer complexes of the present invention may also be used to form pharmaceutical compns. The invention also features methods for enhancing apoptosis in a cell by administering a nucleobase oligomer or oligomer complex of the invention in combination with a chemotherapeutic or chemosensitizing agent. RNAi sequences and vectors producing shRNA (short hairpin RNA) were transfected into HeLa cells and evaluated for their effect on XIAP, CIAP-1, or CIAP-2 protein levels. XIAP protein could also be reduced by RNAi clones in transfected breast cancer cell line MDA-MB-231. In addition, cell survival was reduced in XIAP RNAi transfected breast cancer cell line after the transfected cells were treated with TRAIL (tumor necrosis factor-related apoptosis inducing ligand).
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:409357 CAPLUS
 DOCUMENT NUMBER: 142:457052
 TITLE: Sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with a chemotherapeutic agent
 INVENTOR(S): Lacasse, Eric; McManus, Daniel; Durkin, Jon P.
 PATENT ASSIGNEE(S): Aegera Therapeutics, Inc., Can.
 SOURCE: PCT Int. Appl., 285 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005042030 | A1 | 20050512 | WO 2004-CA1900 | 20041029 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20050119217 | A1 | 20050602 | US 2004-975790 | 20041028 |
| AU 2004284855 | A1 | 20050512 | AU 2004-284855 | 20041029 |
| CA 2542884 | A1 | 20050512 | CA 2004-2542884 | 20041029 |
| EP 1691842 | A1 | 20060823 | EP 2004-789807 | 20041029 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

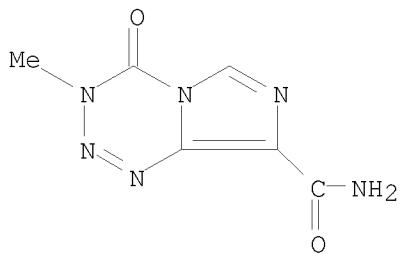
| | IE, SI, LT, | LV, FI, | RO, MK, | CY, AL, | TR, BG, | CZ, EE, | HU, PL, | SK, HR |
|------------------------|-------------|----------|------------------|---------|----------|---------|----------|--------|
| BR 2004015779 | A | 20061226 | BR 2004-15779 | | | | 20041029 | |
| CN 1901939 | A | 20070124 | CN 2004-80039601 | | | | 20041029 | |
| JP 2007509861 | T | 20070419 | JP 2006-537023 | | | | 20041029 | |
| ZA 2006003399 | A | 20070926 | ZA 2006-3399 | | | | 20041029 | |
| MX 2006004920 | A | 20070216 | MX 2006-4920 | | | | 20060502 | |
| IN 2006MN00614 | A | 20070420 | IN 2006-MN614 | | | | 20060526 | |
| NO 2006002420 | A | 20060731 | NO 2006-2420 | | | | 20060529 | |
| KR 2006127393 | A | 20061212 | KR 2006-710619 | | | | 20060530 | |
| PRIORITY APPLN. INFO.: | | | US 2003-516263P | P | 20031030 | | | |
| | | | WO 2004-CA1900 | W | 20041029 | | | |

AB The invention claims the use of an antisense oligomer to human XIAP, IAP-1 or IAP-2 genes and a chemotherapeutic agent, and compns. and kits thereof, for the treatment of proliferative diseases. The invention further claims sequences for nucleobase oligomers that are antisense IAP (inhibitor of apoptosis protein) oligomers. The antisense IAP nucleobase oligomers specifically hybridize with polynucleotides encoding an IAP and reduce the amount of an IAP protein produced in a cell. Thus by reducing the IAP protein, the invention provides methods for inducing cancer cells to undergo apoptosis and for overriding anti-apoptotic signals in cancer cells. As an example of the invention, mice with s.c. H460 human lung carcinoma xenografts were injected intratumorally with XIAP antisense mixed-base 2'-O-Me RNA oligonucleotides (C5 and/or G4) and the drug vinorelbine. At the end of the 24 d treatment period, the mean relative tumor growth was reduced .apprx.70% in treated mice. The inhibition of tumor growth was correlated with down-regulation of human XIAP protein expression and an increased number of dead cells. The mice did not show any signs of cytotoxicity such as body weight loss.

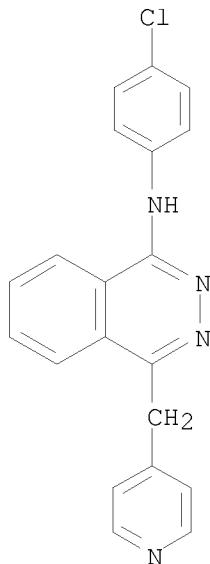
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with chemotherapeutic agent)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:371085 CAPLUS
 DOCUMENT NUMBER: 142:423814
 TITLE: Combination therapy for cancer and viral infections
 INVENTOR(S): Moller, Niels Peter Hundahl; Skak, Kresten; Mueller, Jorn Roland
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005037306 | A1 | 20050428 | WO 2004-DK683 | 20041008 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2542662 | A1 | 20050428 | CA 2004-2542662 | 20041008 |
| EP 1680138 | A1 | 20060719 | EP 2004-762902 | 20041008 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| JP 2007508332 | T | 20070405 | JP 2006-534587 | 20041008 |
| MX 2006004199 | A | 20060628 | MX 2006-4199 | 20060412 |

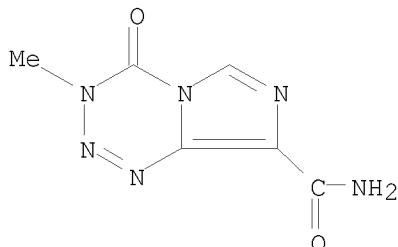
| | | | | |
|------------------------|----|----------|-----------------|-------------|
| US 20070031374 | A1 | 20070208 | US 2006-404733 | 20060414 |
| US 20080206192 | A1 | 20080828 | US 2008-112452 | 20080430 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | DK 2003-1529 | A 20031017 |
| | | | US 2003-513422P | P 20031022 |
| | | | DK 2004-707 | A 20040504 |
| | | | US 2004-569566P | P 20040510 |
| | | | WO 2004-DK683 | W 20041008 |
| | | | US 2006-404733 | A1 20060414 |

AB The invention provides combination treatments with IL-21, analogs and derivs. thereof for the treatment of cancer and viral infection.

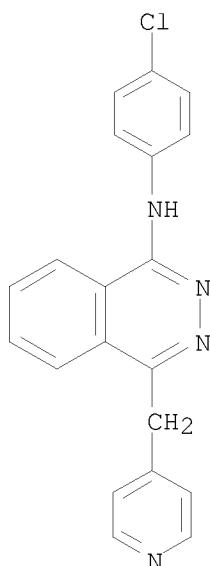
IT 85622-93-1, Temozolomide 212141-54-3, PTK787
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for cancer and viral infections)

RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
 CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

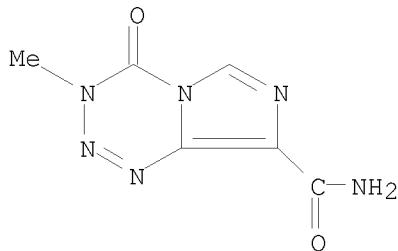
10/518,989

L5 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:283298 CAPLUS
DOCUMENT NUMBER: 142:349042
TITLE: Combinations of chlorpromazine compounds and antiproliferative drugs for the treatment of neoplasms
INVENTOR(S): Lee, Margaret S.; Nichols, James M.; Zhang, Yanzhen; Keith, Curtis
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2005027842 | A2 | 20050331 | WO 2004-US30368 | 20040916 |
| WO 2005027842 | A3 | 20051222 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004273910 | A1 | 20050331 | AU 2004-273910 | 20040916 |
| CA 2538570 | A1 | 20050331 | CA 2004-2538570 | 20040916 |
| EP 1670477 | A2 | 20060621 | EP 2004-788798 | 20040916 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| BR 2004014568 | A | 20061107 | BR 2004-14568 | 20040916 |
| CN 1878556 | A | 20061213 | CN 2004-80033294 | 20040916 |
| JP 2007505914 | T | 20070315 | JP 2006-527024 | 20040916 |
| MX 2006003066 | A | 20060620 | MX 2006-3066 | 20060317 |
| NO 2006001325 | A | 20060606 | NO 2006-1325 | 20060323 |
| KR 2007012618 | A | 20070126 | KR 2006-707244 | 20060414 |
| PRIORITY APPLN. INFO.: | | | US 2003-504310P | P 20030918 |
| | | | WO 2004-US30368 | W 20040916 |

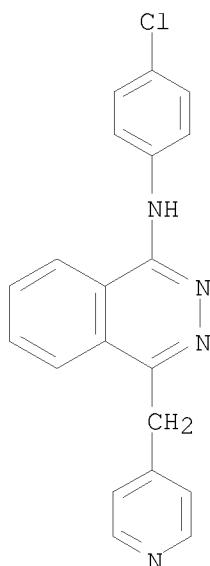
OTHER SOURCE(S): MARPAT 142:349042
AB The invention discloses a method for treating a patient having a cancer or other neoplasm by administering chlorpromazine or a chlorpromazine analog and an antiproliferative agent simultaneously or within 14 days of each other in amts. sufficient to treat the patient.
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chlorpromazine compound-antiproliferative drug antitumor combination)
RN 85622-93-1 CAPLUS
CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



L5 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:99470 CAPLUS

DOCUMENT NUMBER: 142:197889

TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated diseases

INVENTOR(S): Dumas, Jacques; Boyer, Stephen; Riedl, Bernd; Wilhelm, Scott

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

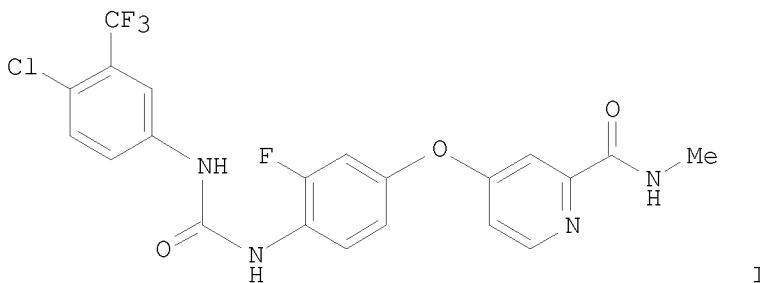
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005009961 | A2 | 20050203 | WO 2004-US23500 | 20040722 |
| WO 2005009961 | A3 | 20050331 | | |

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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

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| AU 2004259760 | A1 | 20050203 | AU 2004-259760 | 20040722 |
| CA 2532865 | A1 | 20050203 | CA 2004-2532865 | 20040722 |
| US 20050038080 | A1 | 20050217 | US 2004-895985 | 20040722 |
| EP 1663978 | A2 | 20060607 | EP 2004-786091 | 20040722 |
| EP 1663978 | B1 | 20071128 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004012219 | A | 20060822 | BR 2004-12219 | 20040722 |
| CN 1856469 | A | 20061101 | CN 2004-80021091 | 20040722 |
| JP 2006528196 | T | 20061214 | JP 2006-521221 | 20040722 |
| ES 2297490 | T3 | 20080501 | ES 2004-786091 | 20040722 |
| ZA 2006000609 | A | 20070530 | ZA 2006-609 | 20060120 |
| KR 2006052866 | A | 20060519 | KR 2006-701558 | 20060123 |
| MX 2006000860 | A | 20060720 | MX 2006-860 | 20060123 |
| IN 2006DN00402 | A | 20070824 | IN 2006-DN402 | 20060123 |
| NO 2006000870 | A | 20060407 | NO 2006-870 | 20060222 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2003-489102P | P 20030723 |
| | | | US 2004-540326P | P 20040202 |
| | | | WO 2004-US23500 | W 20040722 |

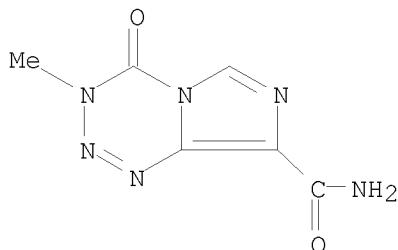
OTHER SOURCE(S): CASREACT 142:197889
GI



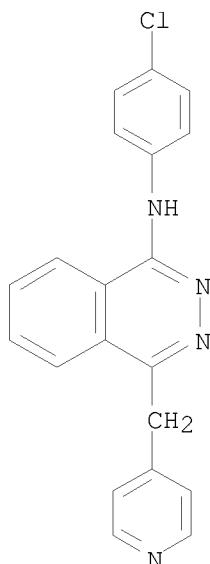
- AB Title compound I is prepared and salts thereof is prepared in several steps from 3-fluoro-4-nitrophenol, 4-chloro-N-methylpyridine-2-carboxamide and 4-chloro-3-(trifluoromethyl)phenylisocyanate. I inhibits PDGFR tyrosine kinase with IC₅₀ = 83 nM. I is useful for the treatment of, e.g., inflammation and as an antiproliferative agent.
- IT 85622-93-1, Temozolomide 212141-54-3, PTK 787
- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination pharmaceutical; fluoro substituted omega-carboxyaryl di-Ph urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated diseases)
- RN 85622-93-1 CAPLUS

10/518,989

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS
CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:965067 CAPLUS
DOCUMENT NUMBER: 141:406039
TITLE: Combinations for the treatment of diseases involving cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis
INVENTOR(S): Hilberg, Frank; Solca, Flavio; Stefanic, Martin Friedrich; Baum, Anke; Munzert, Gerd; Van Meel, Jacobus C. A.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

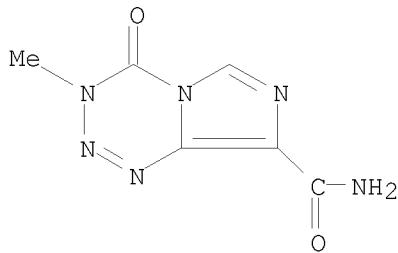
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004096224 | A2 | 20041111 | WO 2004-EP4363 | 20040424 |
| WO 2004096224 | A3 | 20041216 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| EP 1473043 | A1 | 20041103 | EP 2003-9587 | 20030429 |
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| AU 2004233576 | A1 | 20041111 | AU 2004-233576 | 20040424 |
| CA 2523868 | A1 | 20041111 | CA 2004-2523868 | 20040424 |
| EP 1622619 | A2 | 20060208 | EP 2004-729366 | 20040424 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004009919 | A | 20060425 | BR 2004-9919 | 20040424 |
| JP 2006524634 | T | 20061102 | JP 2006-500099 | 20040424 |
| MX 2005011656 | A | 20051215 | MX 2005-11656 | 20051028 |
| NO 2005005605 | A | 20051128 | NO 2005-5605 | 20051128 |
| PRIORITY APPLN. INFO.: | | | EP 2003-9587 | A 20030429 |
| | | | EP 2004-508 | A 20040113 |
| | | | EP 2004-1171 | A 20040121 |
| | | | WO 2004-EP4363 | W 20040424 |

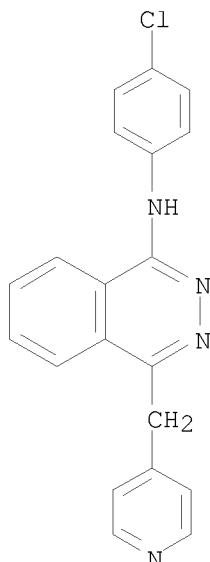
- AB The present invention relates to a pharmaceutical combination for the treatment of diseases which involves cell proliferation, migration or apoptosis of myeloma cells, or angiogenesis. The invention also relates to a method for the treatment of said diseases, comprising co-administration of effective amts. of specific active compds. and/or co-treatment with radiation therapy, in a ratio which provides an additive and synergistic effect, and to the combined use of these specific compds. and/or radiotherapy for the manufacture of corresponding pharmaceutical combination preps. The pharmaceutical combination can include selected protein tyrosine kinase receptor antagonists and further chemotherapeutic or naturally occurring semisynthetic or synthetic agents.
- IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug combinations for diseases involving cell proliferation and migration or apoptosis or angiogenesis including protein tyrosine kinase receptor antagonists and radiotherapy)
- RN 85622-93-1 CAPLUS
 CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
 3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:756710 CAPLUS

DOCUMENT NUMBER: 141:277628

TITLE: Preparation of ureidophenoxycyanopyridines as anticancer drugs.

INVENTOR(S): Scott, William J.; Dumas, Jacques; Boyer, Stephen; Lee, Wendy; Chen, Yuanwei; Phillips, Barton; Verma, Sharad; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Raudenbush, Brian; Redman, Aniko; Yi, Lin; Zhu, Qingming

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

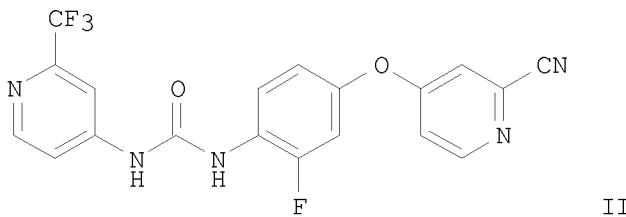
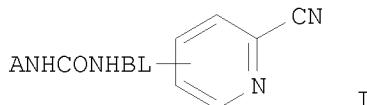
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004078747 | A1 | 20040916 | WO 2004-US6286 | 20040301 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20040235829 | A1 | 20041125 | US 2004-788029 | 20040227 |
| US 7557129 | B2 | 20090707 | | |
| AU 2004217977 | A1 | 20040916 | AU 2004-217977 | 20040301 |
| CA 2517361 | A1 | 20040916 | CA 2004-2517361 | 20040301 |
| US 20040229937 | A1 | 20041118 | US 2004-789446 | 20040301 |
| US 20050032798 | A1 | 20050210 | US 2004-788405 | 20040301 |
| US 20050038031 | A1 | 20050217 | US 2004-788426 | 20040301 |
| EP 1599467 | A1 | 20051130 | EP 2004-716144 | 20040301 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| BR 2004007897 | A | 20060301 | BR 2004-7897 | 20040301 |
| JP 2006519264 | T | 20060824 | JP 2006-508977 | 20040301 |
| CN 1839126 | A | 20060927 | CN 2004-80011547 | 20040301 |
| IN 2005DN03802 | A | 20070824 | IN 2005-DN3802 | 20050826 |
| PRIORITY APPLN. INFO.: | | | US 2003-450323P | P 20030228 |
| | | | US 2003-450324P | P 20030228 |
| | | | US 2003-450348P | P 20030228 |
| | | | WO 2004-US6286 | A 20040301 |

OTHER SOURCE(S): CASREACT 141:277628; MARPAT 141:277628
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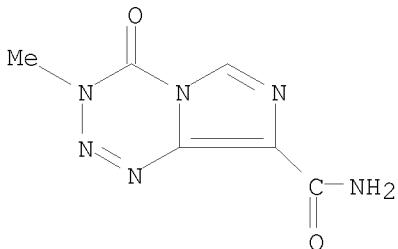
AB Title compds. [I; A = (substituted) pyridinyl, naphthyl, 8-10 membered bicyclic heteroaryl, heterocyclyl, carbocyclyl; B = (substituted) phenylene, naphthylenediyl; L = O, S; m = 0-3; R2 = alkyl, haloalkyl, alkoxy, N-oxo, N-hydroxy], were prepared. Thus, 2-trifluoromethyl-4-pyridylamine was stirred 20 h with carbonyldiimidazole in CH₂Cl₂; 4-(4-amino-3-fluorophenoxy)pyridine-2-carbonitrile (preparation given) was added followed by stirring for 1 day to give 75% title compound (II). I inhibited c-RAF-1 kinase with IC₅₀ = 7.86 nM to >1600 nM.
IT 85622-93-1, Temozolomide 212141-54-3, Vatalanib

10/518,989

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of ureidophenoxycyanopyridines as anticancer
drugs)

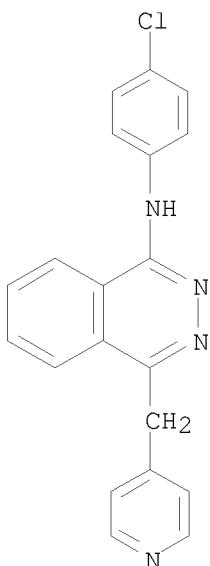
RN 85622-93-1 CAPLUS

CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX
NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:20498 CAPLUS

DOCUMENT NUMBER: 140:71008

TITLE: Combination comprising a vasculostatic compound and an
alkylating agent for the treatment of a tumor

INVENTOR(S): Dugan, Margaret Han

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

10/518,989

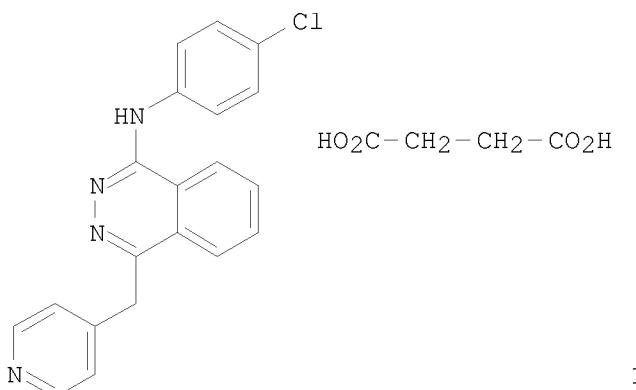
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004002485 | A1 | 20040108 | WO 2003-EP6848 | 20030627 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| CA 2490130 | A1 | 20040108 | CA 2003-2490130 | 20030627 |
| AU 2003249895 | A1 | 20040119 | AU 2003-249895 | 20030627 |
| BR 2003012283 | A | 20050412 | BR 2003-12283 | 20030627 |
| EP 1545527 | A1 | 20050629 | EP 2003-761547 | 20030627 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1662239 | A | 20050831 | CN 2003-814922 | 20030627 |
| CN 100355423 | C | 20071219 | | |
| JP 2005531622 | T | 20051020 | JP 2004-516730 | 20030627 |
| US 20060211674 | A1 | 20060921 | US 2005-518989 | 20050721 |
| PRIORITY APPLN. INFO.: | | | US 2002-392589P | P 20020628 |
| | | | WO 2003-EP6848 | W 20030627 |

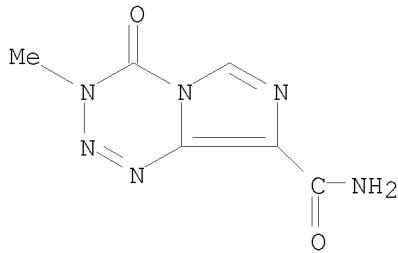
OTHER SOURCE(S): MARPAT 140:71008

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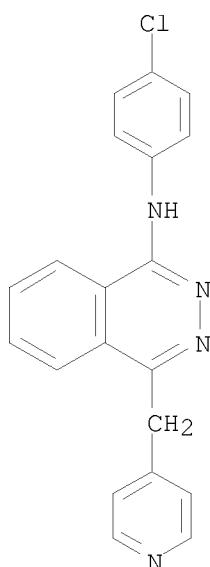
- AB The invention relates to pharmaceutical combination which comprises (a) a vasculostatic compound, (b) an alkylating agent and (c) optionally at least one pharmaceutically acceptable carrier to simultaneous, sep. or sequential use for the treatment of a tumor disease. An example combination is PTK787 (I) and lomustine.
- IT 85622-93-1, Temozolomide 212141-54-3, 1-Phthalazinamine, N-(4-Chlorophenyl)-4-(4-pyridinylmethyl)-
- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (combination comprising a vasculostatic compound and an alkylating agent for the treatment of a tumor)
- RN 85622-93-1 CAPLUS
- CN Imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide,
3,4-dihydro-3-methyl-4-oxo- (CA INDEX NAME)

10/518,989



RN 212141-54-3 CAPLUS

CN 1-Phthalazinamine, N-(4-chlorophenyl)-4-(4-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 15:32:43 ON 15 JUL 2009
E VATALANIB/CN

L1 1 S E3
L2 1 S TEMOZOLOMIDE/CN

FILE 'CAPLUS' ENTERED AT 15:38:47 ON 15 JUL 2009

L3 269 S L1
L4 1548 S L2
L5 44 S L3 AND L4
L6 800162 S CANCER OR TUMOR?
L7 38 S L5 AND L6

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